

STC EIC1600/2900

273010

Case/Application number: 10/675927  
 Priority filing date: 09/23/2003  
 Format for Search Results: HTML  
 Meaning of unusual acronyms or initials:

Identify the novelty:

Additional comments:

Attachment: Yax (675927, Claims, Whole Document.pdf)

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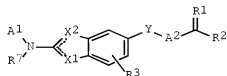
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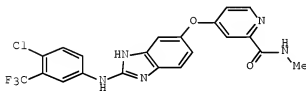
L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:513393 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 141:71544  
 TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors  
 INVENTOR(S): Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell; Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.; Subramanian, Sharadha; Sung, Leonard  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S. Pat. Appl. 2004 87,626.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122237	A1	20040624	US 2003-675927	20030929 <--
US 20040087626	A1	20040506	US 2003-405945	20030331
US 7071216	B2	20060704		
AU 2004277405	A1	20050414	AU 2004-277405	20040929 <--
CA 2539748	A1	20050414	CA 2004-2539748	20040929 <--
WO 2005032548	A1	20050414	WO 2004-US32161	20040929 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1675584	A1	20060705	EP 2004-789345	20040929 <--
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BR 2004014908	A	20061107	BR 2004-14908	20040929 <--
CN 1913884	A	20070214	CN 2004-80032677	20040929 <--
JP 2007507428	T	20070329	JP 2006-528331	20040929 <--
US 20070299039	A1	20071227	US 2005-282939	20051118
MX 2006PA03435	A	20060620	MX 2006-PA3435	20060327 <--
JP 2006193533	A	20060727	JP 2006-96143	20060330
IN 2006KN08838	A	20070413	IN 2006-KN838	20060405 <--
PRIORITY APPLN. INFO.:				
			US 2002-369066P	P 20020329
			US 2003-405945	A2 20030331
			JP 2003-579810	A3 20030331

OTHER SOURCE(S): MARPAT 141:71544  
ED Entered STN: 25 Jun 2004  
GI



I



II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

IC ICM C07D277-82

ICS C07D263-60

INCL 548161000; 548217000

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

ST benzazole benzimidazole prepn Raf kinase inhibitor antitumor

IT Antitumor agents

Drug delivery systems

Human

(preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT Anthracyclines

## Taxanes

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of substituted benzazoles as Raf kinase inhibitors for use in combination with other antitumor agents)

## IT Drug delivery systems

(prodrugs; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

## IT Hematopoietic neoplasm

Mammary gland, neoplasm

Neoplasm

Prostate gland, neoplasm

(treatment of; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

## IT Alkaloids, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vinca; preparation of substituted benzazoles as Raf kinase inhibitors for use in combination with other antitumor agents)

## IT 611213-97-9P 611214-71-2P 611214-79-0P 611215-54-4P 611215-68-0P

611224-42-1P 611224-80-7P 611225-00-4P 710351-25-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase  
 inhibitors for treatment of cancer)

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	611220-10-1P	611220-12-3P	611220-14-5P	611220-17-8P	611220-19-0P
	611220-22-5P	611220-28-1P	611220-30-5P	611220-32-7P	611220-34-9P
	611220-36-1P	611220-38-3P	611220-40-7P	611220-42-9P	611220-44-1P
	611220-46-3P	611220-48-5P	611220-50-9P	611220-52-1P	611220-54-3P
	611220-56-5P	611220-58-7P	611220-60-1P	611220-62-3P	611220-64-5P
	611220-66-7P	611220-68-9P	611220-70-3P	611220-72-5P	611220-74-7P
	611220-76-9P	611220-78-1P	611220-80-5P	611220-82-7P	611220-84-9P
	611220-86-1P	611220-88-3P	611220-90-7P	611220-92-9P	611220-94-1P
	611220-96-3P	611220-98-5P	611221-00-2P	611221-02-4P	611221-04-6P
	611221-06-8P	611221-09-1P	611221-11-5P	611221-12-6P	611221-14-8P
	611221-15-9P	611221-16-0P	611221-17-1P	611221-19-3P	611221-21-7P
	611221-23-9P	611221-26-2P	611221-28-4P	611221-30-8P	611221-32-0P
	611221-34-2P	611221-36-4P	611221-38-6P	611221-40-0P	611221-42-2P
	611221-44-4P	611221-46-6P	611221-49-9P	611221-51-3P	611221-53-5P
	611221-55-7P	611221-57-9P	611221-59-1P	611221-61-5P	611221-63-7P
	611221-65-9P	611221-67-1P	611221-69-3P	611221-71-7P	611221-73-9P
	611221-75-1P	611221-77-3P	611221-78-4P	611221-79-5P	611221-80-8P
	611221-81-9P	611221-82-0P	611221-83-1P	611221-84-2P	611221-85-3P
	611221-86-4P	611221-87-5P	611221-88-6P	611221-89-7P	611221-90-0P
	611221-92-2P	611221-93-3P	611221-94-4P	611221-95-5P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase  
 inhibitors for treatment of cancer)

IT	611221-96-6P	611221-97-7P	611221-98-8P	611221-99-9P	611222-00-5P
	611222-01-6P	611222-02-7P	611222-03-8P	611222-04-9P	611222-05-0P
	611222-06-1P	611222-07-2P	611222-08-3P	611222-09-4P	611222-10-7P
	611222-11-8P	611222-12-9P	611222-13-0P	611222-14-1P	611222-15-2P
	611222-16-3P	611222-17-4P	611222-19-6P	611222-20-9P	611222-21-0P
	611222-22-1P	611222-23-2P	611222-24-3P	611222-25-4P	611222-26-5P
	611222-27-6P	611222-28-7P	611222-29-8P	611222-30-1P	611222-31-2P
	611222-32-3P	611222-33-4P	611222-34-5P	611222-35-6P	611222-38-9P
	611222-39-0P	611222-40-3P	611222-41-4P	611222-43-6P	611222-44-7P
	611222-45-8P	611222-47-0P	611222-48-1P	611222-50-5P	611222-52-7P
	611222-53-8P	611222-54-9P	611222-55-0P	611222-56-1P	611222-57-2P
	611222-58-3P	611222-59-4P	611222-60-7P	611222-61-8P	611222-62-9P
	611222-63-0P	611222-64-1P	611222-65-2P	611222-66-3P	611222-67-4P
	611222-68-5P	611222-69-6P	611222-70-9P	611222-71-0P	611222-72-1P
	611222-73-2P	611222-74-3P	611222-75-4P	611222-76-5P	611222-77-6P
	611222-78-7P	611222-79-8P	611222-80-1P	611222-81-2P	611222-82-3P
	611222-83-4P	611222-84-5P	611222-85-6P	611222-86-7P	611222-87-8P
	611222-88-9P	611222-90-3P	611222-92-5P	611222-94-7P	611222-96-9P
	611222-98-1P	611223-00-8P	611223-02-0P	611223-03-1P	611223-04-2P
	611223-05-3P	611223-06-4P	611223-07-5P	611223-08-6P	611223-09-7P
	611223-10-0P	611223-11-1P	611223-12-2P	611223-13-3P	611223-14-4P
	611223-15-5P	611223-16-6P	611223-17-7P	611223-18-8P	611223-19-9P
	611223-20-2P	611223-21-3P	611223-22-4P	611223-23-5P	611223-24-6P
	611223-25-7P	611223-26-8P	611223-27-9P	611223-28-0P	611223-29-1P
	611223-30-4P	611223-31-5P	611223-32-6P	611223-33-7P	611223-34-8P
	611223-35-9P	611223-36-0P	611223-37-1P	611223-38-2P	611223-39-3P
	611223-40-6P	611223-41-7P	611223-42-8P	611223-43-9P	611223-44-0P
	611223-45-1P	611223-47-3P	611223-49-5P	611223-51-9P	611223-53-1P
	611223-55-3P	611223-56-4P	611223-57-5P	611223-58-6P	611223-59-7P
	611223-60-0P	611223-61-1P	611223-62-2P	611223-63-3P	611223-64-4P
	611223-65-5P	611223-66-6P	611223-67-7P	611223-68-8P	611223-69-9P
	611223-70-2P	611223-71-3P	611223-72-4P	611223-73-5P	611223-74-6P
	611223-75-7P	611223-76-8P	611223-77-9P	611223-78-0P	611223-79-1P
	611223-80-4P	611223-81-5P	611223-82-6P	611223-83-7P	611223-84-8P
	611223-85-9P	611223-86-0P	611223-87-1P	611223-88-2P	611223-89-3P
	611223-90-6P	611223-91-7P	611223-92-8P	611223-93-9P	611223-94-0P
	611223-95-1P	611223-96-2P	611223-97-3P	611223-98-4P	611223-99-5P
	611224-00-1P	611224-01-2P	611224-02-3P	611224-03-4P	611224-04-5P
	611224-05-6P	611224-06-7P	611224-07-8P	611224-08-9P	611224-09-0P
	611224-10-3P	611224-11-4P	611224-12-5P	611224-13-6P	611224-14-7P
	611224-15-8P	611224-16-9P	611224-17-0P	611224-18-1P	611224-19-2P
	611224-20-5P	611224-21-6P	611224-22-7P	611224-23-8P	611224-24-9P
	611224-25-0P	611224-26-1P	611224-27-2P	611224-28-3P	611224-29-4P
	611224-30-7P	611224-32-9P	611224-34-1P	611224-35-2P	611224-36-3P
	611224-37-4P	611224-38-5P	611224-39-6P	611224-40-9P	611224-41-0P
	611224-43-2P	611224-44-3P	611224-45-4P	611224-46-5P	611224-47-6P
	611224-48-7P	611224-49-8P	611224-50-1P	611224-51-2P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT	611224-52-3P	611224-53-4P	611224-54-5P	611224-55-6P	611224-56-7P
	611224-57-8P	611224-58-9P	611224-59-0P	611224-60-3P	611224-61-4P
	611224-62-5P	611224-63-6P	611224-64-7P	611224-65-8P	611224-66-9P
	611224-67-0P	611224-68-1P	611224-69-2P	611224-70-5P	611224-71-6P
	611224-72-7P	611224-74-9P	611224-75-0P	611224-77-2P	611224-78-3P
	611224-82-9P	611224-83-0P	611224-84-1P	611224-85-2P	611224-86-3P
	611224-87-4P	611224-88-5P	611224-89-6P	611224-90-9P	611224-91-0P
	611224-92-1P	611224-93-2P	611224-94-3P	611224-95-4P	611224-96-5P

611225-97-6P	611225-98-7P	611225-02-6P	611225-04-8P	611225-06-0P
611225-07-1P	611225-08-2P	611225-09-3P	611225-10-6P	611225-11-7P
611225-12-8P	611225-13-9P	611225-14-0P	611225-15-1P	611225-16-2P
611225-17-3P	611225-18-4P	611225-19-5P	611225-20-8P	611225-21-9P
611225-22-0P	611225-23-1P	611225-24-2P	611225-25-3P	611225-26-4P
611225-27-5P	611225-28-6P	611225-29-7P	611225-30-0P	611225-31-1P
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611225-37-7P	611225-38-8P	611225-39-9P	611225-40-2P	611225-41-3P
611225-47-9P	611225-48-0P	611225-49-1P	611225-50-4P	611225-51-5P
611225-56-0P	611225-57-1P	710351-26-1P, 4-[[2-[[2-Methoxy-5-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide		
		710351-28-3P, 4-[[2-[[3-Fluoro-2-methoxy-5-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide		
		710351-31-8P, N-Methyl-4-[[1-methyl-2-[[4-(pyridin-4-yl)-1,3-thiazol-2-yl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide		
		710351-33-0P, 710351-34-1P, 710351-35-2P, 710351-36-3P, 710351-37-4P		
		710351-38-5P, 4-[[2-[[4-Chlorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-39-6P, 4-[[1-Methyl-2-[[4-methylphenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-40-9P, 4-[[2-[[4-Bromo-2-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-41-0P, 4-[[1-Methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-42-1P, 4-[[2-[[4-Bromo-3-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-43-2P, 4-[[2-[[2,4-Dimethylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-44-3P, 4-[[2-[[3,4-Dimethylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-45-4P, 4-[[2-[[2,3-Dihydro-1H-inden-5-yl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-47-6P, 4-[[2-[[4-Chloro-3-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-48-7P, 4-[[1-Methyl-2-[[3-[[3-(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-49-8P, 4-[[2-[[4-Bromo-3-chlorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-50-1P, 4-[[2-[[2-Chloro-4-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-51-2P, 4-[[1-Methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-52-3P, 4-[[2-[[4-Bromo-2-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-53-4P, 4-[[2-[[3-Ethylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-54-5P, 4-[[2-[[3-Methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-55-6P, 4-[[1-Methyl-2-[[3-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-56-7P, 4-[[2-[[4-Ethylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-57-8P, 4-[[1-Methyl-2-[[4-(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-58-9P, 4-[[2-[[3-tert-Butylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-59-0P, 4-[[2-[[4-Bromo-3-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-60-3P, 4-[[2-[[3,4-Dichlorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-61-4P, 4-[[2-[[3-Isopropylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		
		710351-62-5P, 4-[[2-[[3-Isopropoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime		



yl)oxy]pyridine-2-carboxaldehyde oxime 710351-63-6P,  
 4-[[1-Methyl-2-[(3-phenoxyphenyl)amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-64-7P, N-(3-tert-Butylphenyl)-5-[[2-(1H-imidazol-2-yl)pyridin-4-yl]oxy]-1-methyl-1H-benzimidazol-2-amine 710351-67-0P, 5-[[2-(1H-imidazol-2-yl)pyridin-4-yl]oxy]-N-(3-isopropylphenyl)-1-methyl-1H-benzimidazol-2-amine 710351-68-1P, 5-[[2-(1H-imidazol-2-yl)pyridin-4-yl]oxy]-1-methyl-N-[[4-[(trifluoromethyl)thio]phenyl]-1H-benzimidazol-2-amine 710351-69-2P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(pyrrolidin-1-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-73-8P, 4-[[2-[[4-Chloro-3-(2-oxopyrrolidin-1-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-76-1P, 4-[[2-[[4-Chloro-3-(2-oxopiperidin-1-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-77-2P, 4-[[2-[(4-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-80-7P, N-Methyl-4-[[1-methyl-2-[[3-[[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-90-9P, 4-[[2-[[4-Fluoro-3-(2-fluoropyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-91-0P, 4-[[2-[[4-Fluoro-3-(6-methoxy-pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-92-1P, 4-[[2-[[4-Fluoro-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-93-2P, 4-[[2-[[4-Chloro-3-(5-methoxy-pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-94-3P, 4-[[2-[[4-Chloro-3-(2,6-dimethylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-95-4P, 4-[[2-[[4-Chloro-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-96-5P, 4-[[2-[[4-Chloro-3-(2-methylpyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-97-6P, 4-[[2-[[3-Fluoro-2-methoxy-5-(5-methoxy-pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-98-7P, 4-[[2-[[3-Fluoro-2-methoxy-5-(6-methoxy-pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-99-8P, 4-[[2-[[3-Fluoro-2-methoxy-5-[6-(1H-pyrrol-1-yl)pyridin-3-yl]phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-00-4P, 4-[[2-[[3-Fluoro-2-methoxy-5-(2-methylpyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-02-6P, 4-[[2-[[5-(2,6-Dimethylpyridin-3-yl)-3-fluoro-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-04-8P, 4-[[2-[[5-(2-Chloropyridin-3-yl)-3-fluoro-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-06-0P, 4-[[2-[[3-Fluoro-5-(2-fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-08-2P, 4-[[2-[[3-Fluoro-2-methoxy-5-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-09-3P, 4-[[2-[[3-Fluoro-5-(6-fluoropyridin-3-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-10-6P, N-Methyl-4-[[1-methyl-2-[[4-(pyridin-2-yl)-1,3-thiazol-2-yl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-11-7P, 4-[[2-[[2-Methoxy-5-(quinolin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-12-8P, 4-[[2-[[2-Methoxy-5-(6-methoxy-pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-13-9P, 4-[[2-[[5-(2,6-Dimethylpyridin-3-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-14-0P, 4-[[2-[[5-(3-Fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-15-1P,

4-[[2-[[5-(2-Fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-16-2P,  
 4-[[2-[[5-(Isoquinolin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-17-3P,  
 4-[[2-[[4-Chloro-3-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-18-4P,  
 4-[[2-[[4-Chloro-3-(pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-19-5P,  
 4-[[2-[[4-Chloro-3-(2-fluoropyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-20-8P,  
 4-[[2-[[4-Chloro-3-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-21-9P,  
 N-Methoxy-4-[[1-methyl-2-[[4-(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-22-0P,  
 4-[[2-[[3-Bromo-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-23-1P,  
 4-[[2-[[3-(6-Fluoropyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-24-2P,  
 N-Methyl-4-[[1-methyl-2-[[3-(pyridin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-25-3P,  
 4-[[2-[[3-(6-Methoxypyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-26-4P,  
 N-Methyl-4-[[1-methyl-2-[[3-(2-methylpyridin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-27-5P,  
 4-[[2-[[3-(Isoquinolin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-28-6P,  
 4-[[2-[[4-Chloro-3-(thien-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-29-7P,  
 N-Methyl-4-[[1-methyl-2-[[3-(quinolin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-30-0P,  
 N-Methyl-4-[[1-methyl-2-[[3-(4-methylpyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-31-1P,  
 4-[[2-[[3-(5-Methoxypyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-32-2P,  
 N-Methyl-4-[[1-methyl-2-[[3-[5-(1H-pyrrol-1-yl)pyridin-3-yl]-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-33-3P,  
 4-[[2-[[3-(2,6-Dimethylpyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-34-4P,  
 N-Methyl-4-[[1-methyl-2-[[3-(5-methyl-2-furyl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-35-5P,  
 N-Methyl-4-[[1-methyl-2-[[3-(thien-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-36-6P,  
 N-Methyl-4-[[1-methyl-2-[[3-(thien-2-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-37-7P,  
 N-Methyl-4-[[1-methyl-2-[[3-(pyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-38-8P,  
 N-Methoxy-4-[[1-methyl-2-[[3-[[trifluoromethyl]thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-39-9P,  
 N-Methoxy-4-[[1-methyl-2-[[3-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-40-2P,  
 N-Methoxy-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-41-3P,  
 N-(Allyloxy)-4-[[1-methyl-2-[[3-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-42-4P,  
 N-(Allyloxy)-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-43-5P,  
 N-(Allyloxy)-4-[[1-methyl-2-[[3-

[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-44-6P, N-(Allyloxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-45-7P, N-Ethoxy-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-46-8P, N-Ethoxy-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-47-9P, N-Ethoxy-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-48-0P, N-(tert-Butoxy)-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-49-1P, N-(tert-Butoxy)-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-50-4P, N-(tert-Butoxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-51-5P, N-(Benzylloxy)-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-52-6P, N-(Benzylloxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-53-7P, 4-[[1-Methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-54-8P, 4-[[1-Methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-55-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-56-0P, 4-[[2-[(3-Cyclopentylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-57-1P, N-Methoxy-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-58-2P, 4-[[2-[[3-(Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-59-3P, 4-[[2-[[3-(2,2-Dichloro-1-methylcyclopropyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-60-6P, 1-[[2-[[3-(Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-61-7P, 4-[[2-[[3-(sec-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-62-8P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-63-9P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-64-0P, 4-[[2-[[4-tert-Butylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-65-1P, 4-[[2-[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-66-2P, 4-[[2-[(4-Bromophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-67-3P, N-Ethoxy-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-68-4P, N-(Allyloxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-69-5P, N-(tert-Butoxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-70-8P, 4-[[1-Methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-71-9P, N-(Benzylloxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-72-0P, N-Isobutoxy-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-73-1P, N-Isobutoxy-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-74-2P, 4-[[2-[[4-Isopropoxy-3-(pyridin-4-

yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-75-3P, 4-[[2-[[4-Isopropoxy-3-(pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-76-4P, 4-[[2-[[3-(6-Fluoropyridin-3-yl)-4-isopropoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-77-5P, 4-[[2-[[4-Isopropoxy-3-(quinolin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-78-6P, 4-[[2-[[4-Isopropoxy-3-(thien-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-79-7P, 4-[[2-[[4-Isopropoxy-3-(thien-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-80-0P, 4-[[2-[[4-Isopropoxy-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-81-1P, 4-[[2-[[4-Isopropoxy-3-(5-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-82-2P, 4-[[2-[[4-Isopropoxy-3-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-83-3P, 4-[[2-[[3-Cyclopentyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710352-84-4P, 4-[[2-[[3-Cyclopentyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(methylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-85-5P, 4-[[2-[[3-Cyclopentyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-86-6P, 4-[[2-[[3-Cyclopentyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-87-7P, 4-[[2-[[3-Cyclopentyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710352-88-8P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710352-89-9P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-90-2P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-91-3P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710352-92-4P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710352-93-5P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(methylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-94-6P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[[1-methyl-1H-imidazol-4-yl)sulfonyl]amino]ethyl]pyridine-2-carboxamide 710352-95-7P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710352-96-8P, 4-[[2-[[3-Cyclopentyl-4-fluorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-97-9P, 4-[[2-[[3-Isopropyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-98-0P, N-(1-Isopropylazetidin-3-yl)-4-[[2-[[3-Isopropyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-99-1P, 4-[[2-[[3-Isopropyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 710353-00-7P, 4-[[2-[[3-Isopropyl-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-01-8P, 4-[[2-[[3-Isopropyl-4-methylphenyl]amino]-1-methyl-1H-

benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-02-9P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-03-0P, N-[2-(Acetyl amino)ethyl]-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-04-1P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710353-05-2P, N-(2-Hydroxyethyl)-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-06-3P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-07-4P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-08-5P, N-[3-(1H-Imidazol-1-yl)propyl]-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-09-6P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-10-9P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-11-0P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-12-1P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710353-14-3P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710353-16-5P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-18-7P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-19-8P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-20-1P, N-[2-(Acetyl amino)ethyl]-4-[[2-[(4-ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-21-2P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710353-23-4P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710353-24-5P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-25-6P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-26-7P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(1H-imidazol-1-yl)propyl]pyridine-2-carboxamide 710353-27-8P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-28-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-29-0P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710353-30-3P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710353-31-4P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710353-32-5P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-33-6P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-34-7P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-

yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-35-8P,  
 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide  
 710353-36-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide  
 710353-37-0P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide  
 710353-38-1P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide  
 710353-39-2P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(1H-imidazol-1-yl)propyl]pyridine-2-carboxamide  
 710353-40-5P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide  
 710353-41-6P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-42-7P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-43-8P, N-[1-Isopropylazetidine-3-yl]-4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-44-9P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide  
 710353-45-0P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide  
 710353-46-1P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide  
 710353-47-2P, N-[2-(Acetyl amino)ethyl]-4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-48-3P, N-[2-[(Isopropylsulfonyl)amino]ethyl]-4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-49-4P, N-(2-Hydroxyethyl)-4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-50-7P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide  
 710353-51-8P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide  
 710353-52-9P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide  
 710353-53-0P, 4-[[2-[[3-(2-Methoxy)pyridin-4-yl]-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-54-1P, 4-[[2-[[3-Isopropylphenyl]amino]-1,3-benzoxazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-55-2P, N-Methyl-4-[[2-[[4-Methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-56-3P, 4-[[6-Methoxy-1-methyl-2-[[4-methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-57-4P, 4-[[2-[[3-(2-Ethylamino)pyridin-4-yl]-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-58-5P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-59-6P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-60-9P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-6-methoxy-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-61-0P, N-Methyl-4-[[2-[[3-[[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide  
 710353-62-1P, 4-[[6-Methoxy-1-methyl-2-[[3-[[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 710353-63-2P, N-Methyl-4-[[2-[[3-[[2-

(morpholin-4-yl)ethylphenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-64-3P, 4-[[6-Methoxy-1-methyl-2-[[3-[2-(morpholin-4-yl)ethylphenylamino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-65-4P, N-Methyl-4-[[2-[3-[2-(piperidin-1-yl)ethylphenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-66-5P, N-Methyl-4-[[1-methyl-2-[[3-[2-(piperidin-1-yl)ethylphenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-67-6P, 4-[[6-Methoxy-1-methyl-2-[[3-[2-(piperidin-1-yl)ethylphenylamino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-68-7P, N-(1-Isopropylazetidin-3-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-69-8P, N-(1-Methylpiperidin-4-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-71-2P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-73-4P, 4-[[2-[3-(tert-Butylphenylamino)-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide 710353-75-6P, 4-[[2-[3-(tert-Butylphenylamino)-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710353-77-8P, 4-[[2-[3-(tert-Butylphenylamino)-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710353-78-9P, N-(1-Isopropylpiperidin-4-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-80-3P, 4-[[2-[4-Fluoro-3-(pyrrolidin-1-yl)phenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-82-5P, N-Methyl-4-[[1-methyl-2-[[3-(1-methyl-1H-pyrazol-3-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-84-7P, 4-[[2-[3-Bromo-4-tert-butylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-85-8P, N-Methyl-4-[[1-methyl-2-[[3-(1H-pyrazol-3-yl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-86-9P, 4-[[2-[4-Bromo-3-tert-butylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-87-0P, 4-[[2-[4-Bromophenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710353-88-1P, N-(1-Methylpiperidin-4-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-89-2P, N-(1-Isopropylpiperidin-4-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-90-5P, N-(1-Isopropylazetidin-3-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-91-6P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[4-(trifluoromethyl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-92-7P, 4-[[1-Methyl-2-[[4-(trifluoromethyl)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-93-8P, 4-[[2-[3-(Isopropylphenylamino)-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-94-9P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[2-[3-(2-fluoropyridin-4-yl)-4-methylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-95-0P, 4-[[2-[3-(2-Fluoropyridin-4-yl)-4-methylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-96-1P, 4-[[2-[4-Chloro-3-(2-fluoropyridin-3-yl)phenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-97-2P, N-Methyl-4-[[1-methyl-2-[[3-(pyridin-3-yl)-4-(trifluoromethoxy)phenylamino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-98-3P, 4-[[2-[3-(Acetylamin)-4-chlorophenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-99-4P, 4-[[2-[4-Bromo-3-tert-butylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710354-01-1P, 4-[[2-[4-Bromo-3-tert-butylphenylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide

710354-02-2P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710354-03-3P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-04-4P, 4-[[2-[(2-Fluoro-5-(trifluoromethyl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-05-5P, 4-[[2-[(2-Chloro-5-(trifluoromethyl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-06-6P, 4-[[2-[(4-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-07-7P, 4-[[1-Methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-08-8P, 4-[[2-[(4-Isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-09-9P, 4-[[2-[(4-Isopropyl-3-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-10-2P, 4-[[2-[(4-Isopropyl-3-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-11-3P, 4-[[2-[(3-tert-Butyl-4-chlorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-12-4P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-13-5P, 4-[[1-Ethyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-14-6P, 4-[[1-Ethyl-2-[[3-(2-fluoropyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-15-7P, 4-[[1-Ethyl-2-[[3-(2-methoxypyridin-4-yl)-4-methylphenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-16-8P, 4-[[2-[(4-Chloro-3-(pyridin-4-yl)phenyl)amino]-1-ethyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-17-9P, 4-[[1-Methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-18-0P, 4-[[2-[(4-Chloro-3-(pyridin-4-yl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-19-1P, 4-[[2-[(4-Chloro-3-(thien-3-yl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-20-4P, 4-[[2-[(4-Chloro-3-(thien-2-yl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-21-5P, 4-[[1-Methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide 710354-22-6P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-23-7P, 4-[[1-Methyl-2-[[4-methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-24-8P, 4-[[2-[(4-Chloro-3-(thien-2-yl)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-25-9P, 4-[[2-[[3-(2-Methoxypyridin-4-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-26-0P, 4-[[1-Methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-27-1P, 4-[[2-[(4-Bromo-2-(trifluoromethoxy)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-28-2P, 4-[[2-[(3-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-29-3P, N-1H-Imidazol-2-yl-4-[[2-[(3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-30-6P, 4-[[2-[(4-Chloro-2-(trifluoromethoxy)phenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-31-7P, 4-[[2-[[3-(3-Furyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-32-8P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(tetrahydrofuran-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-33-9P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(tetrahydrofuran-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-34-0P, 4-[[2-[[3-(2-Furyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide



710354-35-1P, 4-[[2-[[4-Chloro-3-(3-furyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-36-2P, 4-[[2-[[4-Fluoro-3-(3-furyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-37-3P, 4-[[2-[[4-Fluoro-3-(tetrahydrofuran-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-38-4P, 4-[[2-[[4-Fluoro-3-(tetrahydrofuran-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 656-64-4P 823-54-1P 3530-00-5P, 3-Phenoxyphenylisothiocyanate  
 6358-77-6P 7748-59-6P 7748-60-9P 20734-76-3P 23491-48-7P  
 49559-34-4P 49559-83-3P 54998-08-2P 79110-05-7P 106146-35-4P  
 114780-06-2P 115619-00-6P 115619-01-7P 120381-42-2P 127142-66-9P, (4-Chloro-3-nitrophenyl)isothiocyanate 129488-00-2P 191602-42-3P  
 191602-43-4P, (3-Bromo-4-isopropoxyphenyl)amine 210158-20-6P  
 211635-75-5P 214337-39-0P 219552-64-4P 220000-86-2P 239122-51-1P  
 262368-47-8P 284462-57-3P 284462-58-4P 401815-98-3P 402948-23-6P  
 402948-25-8P 402948-26-9P 414880-35-6P 483324-01-2P 485841-45-0P  
 485841-46-1P 485841-47-2P 485841-49-4P 597545-16-9P 611225-42-4P  
 611225-43-5P 611225-44-6P 611225-45-7P 611225-46-8P 611225-52-6P  
 611225-53-7P 611225-54-8P 611225-58-2P 611225-59-3P 611225-60-6P  
 611225-61-7P 611225-62-8P 611225-63-9P 611225-64-0P 611225-65-1P  
 611225-66-2P 611225-67-3P 611225-68-4P 611225-69-5P 611225-70-8P  
 611225-71-9P 611225-72-0P 611225-73-1P 611225-74-2P 611225-75-3P  
 611225-76-4P 611225-77-5P 611225-78-6P 611225-79-7P 611225-80-0P  
 611225-81-1P 611225-82-2P 611225-83-3P 611225-84-4P 611225-85-5P  
 611225-86-6P 611225-87-7P 611225-88-8P 611225-89-9P 611225-90-2P  
 611225-91-3P 611225-92-4P 611225-93-5P 611225-94-6P 611225-95-7P  
 611225-96-8P 611225-97-9P 611225-98-0P 611225-99-1P 611226-00-7P  
 611226-01-8P 611226-02-9P 611226-03-0P 611226-04-1P 611226-05-2P  
 611226-06-3P 611226-07-4P 611226-08-5P 611226-09-6P 611226-11-0P  
 611226-12-1P 611226-13-2P 611226-14-3P 611226-15-4P 611226-17-6P  
 611226-18-7P 611226-19-8P 611226-20-1P 611226-21-2P 611226-22-3P  
 611226-23-4P 611226-24-5P 611226-25-6P 611226-26-7P 611226-27-8P  
 611226-28-9P 611226-29-0P 611226-30-3P 611226-31-4P 611226-32-5P  
 611226-33-6P 611226-34-7P 710351-24-3P 710351-27-2P 710351-29-4P  
 710351-30-7P 710351-32-9P 710351-65-8P 710351-66-9P 710351-70-5P,  
 1-(2-Methyl-5-nitrophenyl)pyrrolidine 710351-71-6P, [4-Methyl-3-(pyrrolidin-1-yl)phenyl]amine 710351-72-7P, [4-Methyl-3-(pyrrolidin-1-yl)phenyl]isothiocyanate 710351-74-9P, 4-[[2-[[4-Chloro-3-nitrophenyl]amino]-1-methylbenzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-75-0P, 4-[[2-[[3-Amino-4-chlorophenyl]amino]-1-methylbenzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-78-3P, 4-[[4-(Methylamino)-3-nitrophenoxy]pyridine-2-carboxamide 710351-79-4P, 4-[[3-Amino-4-(methylamino)phenoxy]pyridine-2-carboxamide 710351-81-8P, 1-[2-(3-Nitrophenyl)ethyl]pyrrolidine 710351-82-9P, [3-(2-(Pyrrolidin-1-yl)ethyl)phenyl]amine 710351-83-0P, [3-(2-(Pyrrolidin-1-yl)ethyl)phenyl]isothiocyanate 710351-84-1P, [3-Bromo-4-(2,2,2-trifluoroethoxy)phenyl]amine 710351-85-2P, 2-Bromo-4-nitro-1-(2,2,2-trifluoroethoxy)benzene 710351-86-3P, 3-Isopropyl-4-fluoroaniline 710351-87-4P, 4-Methyl-3-(3-furyl)nitrobenzene 710351-88-5P, 4-Methyl-3-(3-furyl)aniline 710351-89-6P, 4-Methyl-3-(tetrahydrofuran-3-yl)aniline  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of substituted benzazoles as Raf kinase

- inhibitors for treatment of cancer)
- IT 139691-76-2, Raf kinase 146702-84-3, MEK kinase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (preparation of substituted benzazoles as Raf kinase inhibitors for  
 treatment of cancer)
- IT 62-53-3, Aniline, reactions 95-54-5, o-Phenylenediamine, reactions  
 95-64-7, 3,4-Dimethylaniline 98-16-8, 3-Trifluoromethylaniline  
 99-55-8, (2-Methyl-5-nitrophenyl)amine 99-56-9, 4-Nitrobenzene-1,2-  
 diamine 100-14-1, 4-(Chloromethyl)-1-nitrobenzene 100-19-6,  
 1-(4-Nitrophenyl)ethan-1-one 100-46-9, Phenylmethylamine, reactions  
 103-72-0, Phenyl isothiocyanate 106-40-1, 4-Bromoaniline 106-49-0,  
 4-Methylaniline, reactions 108-42-9, 3-Chloroaniline 109-01-3,  
 N-Methylpiperazine 109-64-8, 1,3-Dibromopropane 110-91-8, Morpholine,  
 reactions 123-75-1, Pyrrolidine, reactions 140-89-6 274-09-9,  
 2H-Benzo[d]1,3-dioxolane 350-46-9, 4-Fluoro-1-nitrobenzene 445-27-2,  
 2'-Fluoroacetophenone 446-35-5, 2,4-Difluoronitrobenzene 533-98-2,  
 1,2-Dibromobutane 587-02-0, 3-Ethylaniline 610-81-1,  
 4-Amino-3-nitrophenol 614-69-7 622-59-3, 4-Methylphenyl isothiocyanate  
 622-79-7, Benzylazide 626-01-7, 3-Iodoaniline 635-22-3,  
 (4-Chloro-3-nitrophenyl)amine 701-45-1 876-08-4, 4-  
 (Chloromethyl)benzoyl chloride 1122-91-4, 4-Bromobenzaldehyde  
 1535-73-5, 3-Trifluoromethoxyaniline 1544-68-9, 4-Fluorophenyl  
 isothiocyanate 1568-70-3, 4-Methoxy-2-nitrophenol 1645-65-4,  
 4-Trifluoromethylphenylisothiocyanate 1692-15-5, 4-Pyridineboronic acid  
 1692-25-7, Pyridine-3-boronic acid 1840-19-3, 3-  
 Fluoromethylphenylisothiocyanate 1878-68-8, 4-Bromophenylacetic acid  
 1939-99-7,  $\alpha$ -Toluenesulfonyl chloride 1985-12-2, 4-Bromophenyl  
 isothiocyanate 2131-55-7, 4-Chlorophenyl isothiocyanate 2131-59-1,  
 3-Bromophenyl isothiocyanate 2131-62-6, 4-Isothiocyanatobenzoic acid  
 2131-63-7, 3-Isothiocyanatobenzoic acid 2131-64-8, 4-  
 (Dimethylamino)phenyl isothiocyanate 2243-47-2, 3-Biphenylamine  
 2369-11-1, 5-Fluoro-2-nitrophenylamine 3125-64-2, 3-  
 Methoxyphenylisothiocyanate 3125-73-3, 3-Iodophenyl isothiocyanate  
 3586-12-7, 3-Phenoxyaniline 3694-46-0 3934-20-1, 2,4-  
 Dichloropyrimidine 4509-90-4 4635-59-0, 4-Chlorobutanoyl chloride  
 4769-96-4, 6-Nitroindole 5308-25-8, N-Ethylpiperazine 5339-26-4,  
 4-(2-Bromoethyl)-1-nitrobenzene 5369-16-4, 3-Isopropylaniline  
 5369-19-7, 3-tert-Butylaniline 5807-09-0, 4-Morpholinebutanoic acid  
 6590-94-9, 3,4-Dichlorophenylisothiocyanate 7154-73-6,  
 2-Pyrrolidinoethylamine 7663-77-6 7745-93-9, 2-Bromo-1-methyl-4-  
 nitrobenzene 14703-88-9, 4-(Methylamino)-3-nitrophenol 15863-41-9,  
 4-Methylthiophenyl isothiocyanate 15863-56-6 16588-26-4,  
 1-Bromo-2-chloro-5-nitrobenzene 18856-63-8, 4-Ethylphenyl isothiocyanate  
 19235-89-3, 4-Chloro-2-cyanopyridine 19241-17-9, 3,4-  
 Dimethylphenylisothiocyanate 19241-20-4, 3-Ethylphenyl isothiocyanate  
 19241-24-8, 4-tert-Butylphenylisothiocyanate 20515-62-2,  
 2,2-Dimethylloxazolidine 21043-40-3, N-Cyclopentylpiperazine 22282-70-8  
 22526-09-6 23159-07-1, 1-Pyrrolidinepropanamine 23163-86-2,  
 4-Chloro-3-trifluoromethylphenyl isothiocyanate 25343-70-8 27578-60-5,  
 2-Piperidinoethylamine 30235-28-0 30766-22-4, Methyl  
 5-hydroxypyridine-3-carboxylate 32118-33-5, 4-Bromo-3-  
 chlorophenylisothiocyanate 33696-00-3 39842-01-8, 2,4-  
 Dimethylphenylisothiocyanate 42729-26-0 52022-77-2,  
 2-(3-Nitrophenyl)ethan-1-ol 52024-17-6 53750-66-6,  
 4-Chloropyridine-2-carbonyl chloride 55552-70-0, 3-Furylboronic acid  
 55690-60-3, 5-Methoxy-2-mercaptobenzothiazole 64285-95-6,  
 4-Trifluoromethoxyphenylisothiocyanate 71672-88-3, 4-Bromo-3-  
 methylphenyl isothiocyanate 74266-66-3 81171-71-3,  
 4-Bromo-2-fluorophenylisothiocyanate 98041-44-2 101856-90-0  
 128071-98-7, 4-Bromo-2-fluoropyridine 141184-31-8 175205-38-6,

2-Chloro-4-trifluoromethylphenylisothiocyanate 189281-95-6  
 205064-32-0, 3-Isopropoxyphenylisothiocyanate 207974-17-2,  
 2,6-Difluorophenyl isothiocyanate 211693-73-1, 5,6-Difluoro-2-  
 nitroaniline 220000-87-3 238742-91-1 244022-67-1 302912-40-9  
 362690-56-0 458532-98-4, 3-Chloro-4-pyridineboronic acid 611226-35-8  
 611226-36-9 611226-37-0 611226-39-2 611226-42-7 611226-43-8  
 611226-44-9 611226-45-0 611226-46-1 611226-50-7 611226-52-9  
 710351-46-5, 5-Indanylphenylisothiocyanate

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 50-18-0, Cyclophosphamide 51-21-8, 5-Fluorouracil 58-05-9, Leucovorin 15663-27-1, Cisplatin 41575-94-4, Carboplatin 95058-81-4, Gemcitabine 97682-44-5, Irinotecan 123948-87-8, Topotecan 130306-02-4, Tezacitabine 152459-95-5, Imatinib 174722-31-7, Rituximab 180288-69-1, Trastuzumab

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of substituted benzazoles as Raf kinase inhibitors for use in combination with other antitumor agents)

=> d que 12

L2 2 SEA FILE=WPIX ABB=ON PLU=ON US2003-675927/APPS

=> d iall code 12 1-2

YOU HAVE REQUESTED DATA FROM FILE 'WPIX' - CONTINUE? (Y)/N:y

L2 ANSWER 1 OF 2 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2005-296040 [30] WPIX

CROSS REFERENCE: 2003-833520

DOC. NO. CPI: C2005-091531 [30]

TITLE: New substituted benzazole compounds are Raf kinase inhibitors useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer B02

DERWENT CLASS:

INVENTOR: AMIRI P; FANTL W; LEVINE B H; POON D J; RAMURTHY S; RENHOWE P A; SUBRAMANIAN S; SUNG L; AMIRI P C C; FANTL W C C; LEVINE B H C C; POON D J C C; RAMURTHY S C C; RENHOWE P A C C; SUBRAMANIAN S C C; SUNG L C C

PATENT ASSIGNEE: (CHIR-C) CHIRON CORP

COUNTRY COUNT: 107

# PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
WO 2005032548	A1 20050414	(200530)*	EN	326[0]	
EP 1675584	A1 20060705	(200644)	EN		
BR 2004014908	A 20061107	(200674)	PT		
AU 2004277405	A1 20050414	(200677)	EN		
MX 2006003435	A1 20060701	(200677)	ES		
KR 2006089232	A 20060808	(200705)	KO		
JP 2007507428	W 20070329	(200725)	JA	308	
IN 2006KN00838	P2 20070413	(200735)	EN		
CN 1913884	A 20070214	(200746)	ZH		
ZA 2006003418	A 20070725	(200758)	EN	329	

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005032548 A1		WO 2004-US32161	20040929
AU 2004277405 A1		AU 2004-277405	20040929
BR 2004014908 A		BR 2004-14908	20040929
CN 1913884 A		CN 2004-80032677	20040929
EP 1675584 A1		EP 2004-789345	20040929
EP 1675584 A1		WO 2004-US32161	20040929
BR 2004014908 A		WO 2004-US32161	20040929
MX 2006003435 A1		WO 2004-US32161	20040929
KR 2006089232 A		WO 2004-US32161	20040929
JP 2007507428 W		WO 2004-US32161	20040929
IN 2006KN00838 P2		WO 2004-US32161	20040929
JP 2007507428 W		JP 2006-528331	20040929
MX 2006003435 A1		MX 2006-3435	20060327
KR 2006089232 A		KR 2006-706470	20060403
IN 2006KN00838 P2		IN 2006-KN838	20060405
ZA 2006003418 A		ZA 2006-3418	20060428

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1675584	A1 Based on	WO 2005032548 A
BR 2004014908	A Based on	WO 2005032548 A
AU 2004277405	A1 Based on	WO 2005032548 A
MX 2006003435	A1 Based on	WO 2005032548 A
KR 2006089232	A Based on	WO 2005032548 A
JP 2007507428	W Based on	WO 2005032548 A

PRIORITY APPLN. INFO: US 2003-675927 20030929

## INT. PATENT CLASSIF.:

MAIN: A61K031-41; C07D401-12; A61K  
 SECONDARY: A61P; A61P035-00; C07D; C07D401-14; C07D405-14;  
 C07D407-14; C07D409-14; C07D413-12; C07D413-14;  
 C07D417-12; C07D417-14; C07D471-08  
 IPC ORIGINAL: A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C];  
 A61K0031-41 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439  
 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C];  
 A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709  
 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A];  
 A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506  
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 A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C];  
 ; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00  
 [I,A]; A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00  
 [I,C]; A61P0035-02 [I,A]; A61P0043-00 [I,A]; A61P0043-00  
 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00  
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[I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-00  
[I,C]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-12  
[I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04  
[I,A]; C07D0471-08 [I,A]

IPC RECLASSIF.: A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C];  
C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C];  
C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C];  
C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A];  
C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A];  
C07D0453-00 [I,C]; C07D0453-02 [I,A]

ECLA: C07D0401-12+235C+213; C07D0401-14+235C+213+207;  
C07D0401-14+235C+213+209C; C07D0401-14+235C+217+213;  
C07D0401-14+235C+231+213; C07D0401-14+235C+233+213;  
C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213;  
C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213;  
C07D0413-14+261+235C+213; C07D0413-14+263B+235C+213;  
C07D0417-12+277+213; C07D0453-02

BASIC ABSTRACT:  
WO 2005032548 A1 UPAB: 20051222  
NOVELTY - Substituted benzazole compounds (I) and their salts, esters or prodrugs are new.  
DETAILED DESCRIPTION - Substituted benzazole compounds of formula (I) and their salts, esters or prodrugs are new.  
X1, X2 = N, NR4, O or S (provided that if X1 is -NR4-, O or S, then X2 is -NR4, O or S then X2 is N, and both X1 and X2 are not N);  
Y = O or S;  
A1 = optionally substituted alkyl, (hetero)cycloalkyl, polycyclic aryl, polycyclic arylalkyl, (hetero)aryl, biaryl, heteroarylaryl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylarylalkyl;  
A2 = optionally substituted heteroaryl; either  
R1 = O or H; and  
R2 = NR5R6 or OH; or  
R1+R2 = optionally substituted with heterocycloalkyl or heteroaryl;  
dashed line = single or double bond;  
R3 = H, halo, lower alkyl or lower alkoxy;  
R4 = H, OH, (di)alkylamino or alkyl; either  
R5, R6 = H or optionally substituted alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, (hetero)cycloalkyl, (hetero)aryl, alkyloxyalkylheterocyclo or heteroarylalkyl; or  
NR5R6 = optionally substituted heterocyclo or heteroaryl; and  
R7 = H or lower alkyl.  
An INDEPENDENT CLAIM is also included for the composition comprising (I).  
ACTIVITY - Cytostatic.  
MECHANISM OF ACTION - Raf serine/threonine kinase inhibitor. (I) were tested for Raf serine/threonine kinase inhibitory activity in biotinylated Raf screen assay. The median inhibitory concentration of 4-((2-((4-chloro-3-trifluoromethylphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia) was less than 5 microM.  
USE - (I) are useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer (claimed).  
ADVANTAGE - (I) has great efficacy in inhibiting tumor cell proliferation.

MANUAL CODE:

CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21;  
B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-D04C;  
B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01D1; B14-H01F4

AN 2005-296040 [30] WPIX  
DC B02  
IC ICM A61K031-41; C07D401-12; A61K

ICS A61P; A61P035-00; C07D; C07D401-14; C07D405-14; C07D407-14;  
C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D471-08

IPCI A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C]; A61K0031-41 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A];  
A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A];  
A61K0031-4709 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C];  
A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A];  
A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-02 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C];  
C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0401-14 [I,A];  
C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C];  
C07D0407-00 [I,C]; C07D0407-14 [I,A]; C07D0407-14 [I,A]; C07D0409-00 [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0409-14 [I,A];  
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C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0471-08 [I,A]

IPCR A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A];  
C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]

EPC C07D0401-12+235C+213; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209C;  
C07D0401-14+235C+217+213; C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213;  
C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213; C07D0413-14+261+235C+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213;  
C07D0453-02

IT UPIT 20051222  
1062356-CL 1062356-NEW; 0154-07801-CL 0154-07801-NEW; 0154-07802-CL 0154-07802-NEW; 0154-07803-CL 0154-07803-NEW; 0154-07804-CL 0154-07804-NEW; 100185-CL; 67298-CL; 98147-CL; 109181-CL; 95995-CL; 9091-CL; 95506-CL; 91104-CL; 90035-CL; 123715-CL; 184621-CL; 184584-CL; 184586-CL; 166620-CL; 197131-CL

MC CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21; B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-D04C; B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01D1; B14-H01F4

CMC UPB 20051222  
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DCR: 184586-K 184586-M 184586-T  
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DCR: 197131-K 197131-M 197131-T

M2 \*01\* D012 D022 D711 F012 F014 F431 G015 G100 H1 H102 H121 H5 H521 H6  
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M2 \*02\* D010 D012 D013 D019 D020 D022 D023 D029 D040 D049 D711 E400 E600  
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M2 \*04\* D010 D012 D013 D019 D020 D022 D023 D029 D040 D049 D711 E400 E600  
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MCN: 0154-07803-M 0154-07803-N 0154-07803-T

M2 \*05\* D010 D012 D019 D020 D022 D023 D029 D040 D049 D711 F010 F019 F020  
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## 10/675,927

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 DCR: 131710-K 131710-M 131710-T 95506-K 95506-M 95506-T  
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 DCR: 90035-K 90035-M 90035-T  
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 DCR: 123715-K 123715-M 123715-T

L2 ANSWER 2 OF 2 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 2003-833520 [77] WPIX  
 CROSS REFERENCE: 2005-296040  
 DOC. NO. CPI: C2003-234492 [77]  
 TITLE: New substituted benzazole compounds useful for treating  
 Raf kinase mediated disorders e.g. cancer  
 B02; B05  
 DERWENT CLASS:  
 INVENTOR: AMIRI P; FANTL W; HANSEN T; HASKELL B; LEVINE B H;  
 MCBRIDE C; POON D J; RAMURTHY S; RAMURTHY S L; RENHOWE P  
 A; SHAFER C M; SUBRAMANIAN S; SUNG L; FANTI W  
 PATENT ASSIGNEE: (AMIR-I) AMIRI P; (CHIR-C) CHIRON CORP; (FANT-I) FANTL W;  
 (LEVI-I) LEVINE B H; (POON-I) POON D J; (RAMU-I) RAMURTHY  
 S; (RENH-I) RENHOWE P A; (SUBR-I) SUBRAMANIAN S; (SUNG-I)  
 SUNG L  
 COUNTRY COUNT: 102  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
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AU 2003226211	A1	20031013	(200435)	EN		
US 20040122237	A1	20040624	(200442)	EN		
EP 1499311	A1	20050126	(200508)	EN		
BR 2003008854	A	20050222	(200517)	PT		
KR 2004095355	A	20041112	(200519)	KO		
NO 2004004617	A	20041228	(200520)	NO		
MX 2004009541	A1	20050201	(200564)	ES		
JP 2005529089	W	20050929	(200568)	JA	245	
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NZ 535985	A	20070427	(200731)	EN		
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AU 2003226211	B2	20080529	(200860)	EN		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003082272	A1	WO 2003-US10117	20030331
US 20040087626	A1 Provisional	US 2002-369066P	20020329
US 20040122237	A1 Provisional	US 2002-369066P	20020329
US 7071216	B2 Provisional	US 2002-369066P	20020329
US 20070299039	A1 Provisional	US 2002-369066P	20020329
AU 2003226211	A1	AU 2003-226211	20030331
BR 2003008854	A	BR 2003-8854	20030331
CN 1655779	A	CN 2003-812193	20030331
EP 1499311	A1	EP 2003-745683	20030331
JP 2005529089	W	JP 2003-579810	20030331
JP 2006193533	A Div Ex	JP 2003-579810	20030331
NZ 535985	A	NZ 2003-535985	20030331
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US 20070299039 A1 Div Ex	US 2003-405945 20030331
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KR 2004095355 A	KR 2004-715523 20040930
ZA 2004008386 A	ZA 2004-8386 20041015
NO 2004004617 A	NO 2004-4617 20041026
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JP 2006193533 A	JP 2006-96143 20060330
AU 2003226211 B2	AU 2003-226211 20030331
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NO 2004004617 A PCT Application	WO 2003-US10117 20030331
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NZ 535985 A PCT Application	WO 2003-US10117 20030331

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PATENT NO	KIND	PATENT NO
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AU 2003226211	A1 Based on	WO 2003082272 A
EP 1499311	A1 Based on	WO 2003082272 A
BR 2003008854	A Based on	WO 2003082272 A
MX 2004009541	A1 Based on	WO 2003082272 A
JP 2005529089	W Based on	WO 2003082272 A
NZ 535985	A Based on	WO 2003082272 A
AU 2003226211	B2 Based on	WO 2003082272 A

PRIORITY APPLN. INFO: US 2002-369066P 20020329  
 US 2003-405945 20030331  
US 2003-675927 20030929  
 US 2005-282939 20051118

## INT. PATENT CLASSIF.:

MAIN: A61K031-41; C07D277-82; C07D401-12  
 SECONDARY: A61K031-4427; A61K031-4439; A61K031-444; A61K031-4545;  
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 C07D471-08

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 C07D0453-00 [I,C]; C07D0453-02 [I,A];  
 C07D0401-12+235C+213; C07D0401-14+235C+213+205;  
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 M07D0401:12; M07D0401:14; M07D0401:14R  
 514/110.000  
 514/212.080; 514/234.500; 514/253.090; 514/333.000;  
 514/338.000; 514/367.000; 514/375.000; 540/524.000;  
 544/131.000; 544/364.000; 546/256.000; 546/270.100;  
 546/271.700; 546/273.400; 548/161.000; 548/217.000

ECLA:

ICO:

USCLASS NCLM:

NCLS:

BASIC ABSTRACT:

WO 2003082272 A1 UPAB: 20060120

NOVELTY - Substituted benzazoles (I), their salts, esters or prodrugs, are new.

DETAILED DESCRIPTION - Substituted benzazoles of formula (I), their salts, esters or prodrugs, are new:

X1, X2 = =N-, -NR4-, -O- or -S-;

Y = O or S;

Al = alkyl, (hetero)cycloalkyl, (hetero)aryl, (polycyclic)aryl, (polycyclic)arylalkyl, biaryl, heteroarylaryl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylarylalkyl (all optionally substituted);

A2 = optionally substituted heteroaryl;  
 R1 = O or H;  
 R2 = N(R5)(R6) or hydroxyl; or  
 R1+R2 = heterocycloalkyl or heteroaryl (both optionally substituted);  
 a = single or double bond;  
 R3 = H, halo, lower alkyl or lower alkoxy;  
 R4 = H, hydroxyl, (di)alkylamino or alkyl;  
 R5, R6 = alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl,  
 (hetero)cycloalkyl, (hetero)aryl, alkoxyalkylheterocyclo, heteroarylalkyl (all optionally substituted) or H; or  
 R5+R6 = heterocyclo or heteroaryl (both optionally substituted); and  
 provided that:  
 (i) when X1 is -NR4-, -O- or -S-, then X2 is =N-;  
 (ii) when X2 is -NR4-, -O- or -S-, then X1 is =N-; and  
 (iii) both X1 and X2 are not =N-.

An INDEPENDENT CLAIM is also included for a pharmaceutical composition (C1) comprising (I).

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Raf kinase inhibitor.

Raf kinase inhibiting assay was carried out using 4-((2-((4-bromophenyl)amino)-1-methyl-1H-benzimidazol-5-yl)oxy)-N- methylpyridin-2-carboxamide (Ia). Recombinant isoforms of Raf were obtained by purification from sf9 insect cells infected with a human Raf recombinant baculovirus expression vector. The IC50 value of (A) was less than 5 microM.

USE - In the manufacture of a medicament for treating cancer (preferably hormone dependent or hematological) in humans or animals (claimed).

ADVANTAGE - (I) Effectively reduce or prevent tumor growth in humans or animals.

MANUAL CODE: CPI: B04-A07A; B04-B03A; B05-A03B; B05-B01J; B06-H;  
 B07-H; B09-D02; B14-D06; B14-H01

AN 2003-833520 [77] WPIX

DC B02; B05

IC ICM A61K031-41; C07D277-82; C07D401-12

ICS A61K031-4427; A61K031-4439; A61K031-444; A61K031-4545; A61K031-46;  
 A61K031-4725; A61K031-496; A61K031-506; A61K031-5377; A61K031-55;  
 A61K031-551; A61K045-00; A61P035-00; A61P043-00; C07D263-60;  
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IPCI A61K [N,S]; A61K0031-4164 [I,C]; A61K0031-4184 [I,A]; A61K0031-4427 [I,C];  
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IPCR A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A];  
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EPC C07D0401-12+235C+213; C07D0401-14+235C+213+205; C07D0401-14+235C+213+207;  
C07D0401-14+235C+213+209; C07D0401-14+235C+213+209C; C07D0401-  
14+235C+213+213; C07D0401-14+235C+217+213; C07D0401-14+235C+223+213;  
C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0401-14R+235C+213;  
C07D0401-14R+235C+213+209C; C07D0405-12+319+235C+213; C07D0405-  
14+307B+235C+213; C07D0405-14+317+235C+213; C07D0405-14+319+235C+213;  
C07D0409-14+317+235C+213; C07D0409-14+333B+235+213; C07D0409-  
14+333B+235C+213; C07D0413-04+263+213; C07D0413-14+261+235C+213;  
C07D0413-14+263+213+205; C07D0413-14+263+233+213; C07D0413-  
14+263B+235C+213; C07D0417-12+277+213; C07D0417-14+277B+213+207;  
C07D0417-14+277B+235C+213; C07D0453-02

ICO M07D0401:12; M07D0401:14; M07D0401:14R

NCL NCLM 514/110.000  
NCLS 514/212.080; 514/234.500; 514/253.090; 514/333.000; 514/338.000;  
514/367.000; 514/375.000; 540/524.000; 544/131.000; 544/364.000;  
546/256.000; 546/270.100; 546/271.700; 546/273.400; 548/161.000;  
548/217.000

IT UPIT 20060120  
800769-CL 800769-NEW; 0111-82101-CL 0111-82101-NEW; 98147-CL; 109181-CL;  
95995-CL; 9091-CL; 95506-CL; 90035-CL; 91104-CL; 100185-CL; 67298-CL;  
123715-CL; 786228-CL; 184621-CL; 184584-CL; 166620-CL; 197131-CL

MC CPI: B04-A07A; B04-B03A; B05-A03B; B05-B01J; B06-H; B07-H; B09-D02;  
B14-D06; B14-H01

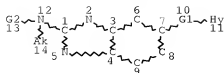
CMC UPB 20060120  
DRN: 0008-U 0165-U  
DCR: 67298-U 9091-U  
M1 \*14\* M417 M423 M431 M782 M905  
DCN: RA0A32-K RA0A32-M  
DCR: 184621-K 184621-M  
M1 \*15\* M417 M423 M431 M782 M905  
DCN: RA03PR-K RA03PR-M  
DCR: 184584-K 184584-M  
M1 \*16\* M423 M431 M782 M905  
DCN: RA1VLZ-K RA1VLZ-M  
DCR: 166620-K 166620-M  
M1 \*17\* M423 M431 M782 M905  
DCN: RA28R6-K RA28R6-M  
DCR: 197131-K 197131-M  
M2 \*01\* D013 D022 D711 F012 F014 F431 G013 G100 H1 H102 H121 H181 H2  
H201 H5 H521 H6 H603 H641 H8 J0 J011 J3 J311 L922 M1 M123 M125  
M141 M143 M210 M211 M273 M282 M320 M412 M431 M511 M521 M531 M540  
M710 M782 P616 P631 P633 M905 M904  
DCN: RAC66Y-M RAC66Y-N RAC66Y-T  
DCR: 800769-M 800769-N 800769-T  
M2 \*02\* C316 D010 D011 D012 D013 D019 D020 D022 D023 D029 D040 D049 D601  
D622 D700 D711 E400 E600 F010 F012 F013 F014 F015 F056 F019 F020  
F021 F029 F111 F211 F423 F431 F432 F499 F521 F541 F553 F620 F653

G001 G002 G003 G010 G011 G012 G013 G019 G020 G021 G022 G029 G030  
 G031 G039 G040 G050 G100 G111 G112 G113 G211 G221 G223 G299 G553  
 G563 G670 H1 H100 H101 H102 H103 H121 H122 H141 H142 H161 H181  
 H182 H183 H201 H211 H341 H401 H481 H5 H521 H541 H542 H581 H582  
 H592 H594 H600 H608 H641 H642 H643 H681 H682 H683 H685 J011 J012  
 J013 J014 J111 J321 J331 J341 J361 J371 J372 J431 J471 J472 J521  
 J581 J582 K353 K620 K640 K699 K850 L532 L599 L640 L699 L922 L941  
 L943 L999 M1 M113 M115 M116 M121 M122 M123 M124 M125 M126 M129  
 M131 M132 M135 M136 M139 M141 M142 M143 M146 M147 M149 M150 M210  
 M211 M212 M213 M214 M215 M216 M220 M221 M222 M223 M224 M225 M226  
 M231 M232 M233 M240 M262 M271 M272 M273 M280 M281 M282 M283 M311  
 M312 M313 M314 M315 M316 M320 M321 M322 M323 M331 M332 M333 M334  
 M340 M342 M343 M344 M349 M353 M372 M373 M381 M383 M391 M392 M393  
 M412 M431 M511 M512 M513 M520 M521 M522 M523 M530 M531 M532 M533  
 M540 M541 M542 M543 M630 M640 M650 M710 M782 P616 P631 P633  
 M905 M904  
 MCN: 0111-82101-M 0111-82101-N 0111-82101-T  
 M2 \*03\* D011 D013 D016 D019 D022 E570 F011 F014 F019 F433 F499 H1 H121  
 H2 H201 H211 H4 H401 H421 H8 J5 J522 K0 L4 L463 L9 L941 L942  
 M210 M212 M240 M282 M320 M412 M431 M511 M522 M530 M540 M782  
 M905 M904  
 RIN: 41300  
 DCN: RA035H-K RA035H-M  
 DCR: 98147-K 98147-M  
 M2 \*04\* D011 D013 D016 D023 E570 H1 H103 H181 H4 H402 H421 H441 H8 J5  
 J522 L9 L941 L942 M210 M211 M212 M240 M273 M281 M282 M311 M321  
 M342 M373 M391 M412 M431 M511 M520 M530 M540 M782 M905 M904  
 RIN: 41300  
 DCN: RA035F-K RA035F-M RA2FVY-K RA2FVY-M  
 DCR: 109181-K 109181-M 165667-K 165667-M  
 M2 \*05\* F011 F012 F013 F014 F015 F017 F019 F113 F542 H1 H100 H121 H2  
 H211 H4 H402 H421 H481 H6 H601 H608 H622 H8 J5 J521 K0 L818 L834  
 L835 L9 L910 M280 M311 M321 M342 M373 M391 M413 M431 M510 M522  
 M530 M540 M782 M905 M904  
 DCN: RA0EH0-K RA0EH0-M  
 DCR: 95995-K 95995-M  
 M2 \*06\* F012 F014 F015 F542 H6 H601 H621 J5 J522 L9 L910 M280 M320 M413  
 M431 M510 M521 M530 M540 M782 M905 M904 M910  
 DCN: R00165-K R00165-M R14958-K R14958-M  
 DCR: 9091-K 9091-M 9091-U  
 M2 \*07\* D013 D019 D940 G013 G100 H1 H100 H102 H121 H141 H2 H211 J0 J014  
 J1 J172 J3 J331 J371 J5 J521 L9 L910 L941 M280 M311 M313 M321  
 M332 M342 M343 M349 M373 M381 M391 M412 M431 M511 M520 M531 M540  
 M782 M905 M904  
 DCN: R08935-K R08935-M RA07GO-K RA07GO-M  
 DCR: 131710-K 131710-M 95506-K 95506-M  
 M2 \*08\* A678 A950 A960 C500 C710 C801 C802 C804 C806 C807 G030 G038 G543  
 J0 J012 J1 J152 M280 M320 M411 M431 M510 M520 M530 M541 M630  
 M782 M905 M904  
 DCN: R11768-K R11768-M  
 DCR: 90035-K 90035-M  
 M2 \*09\* A678 A940 A950 C017 C100 C500 C730 C801 C804 C806 C807 M411 M431  
 M782 M905 M904  
 DCN: R03071-K R03071-M  
 DCR: 91104-K 91104-M  
 M2 \*10\* F011 F012 F013 F014 F015 F019 F113 F542 H1 H100 H121 H2 H211 H4  
 H402 H421 H481 H6 H601 H682 H7 H720 H8 J5 J521 L9 L910 M280 M311  
 M322 M342 M343 M353 M373 M391 M413 M431 M510 M522 M530 M540 M782  
 M905 M904  
 DCN: RA0KGY-K RA0KGY-M

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M2 \*11\* DCR: 100185-K 100185-M  
 B615 B701 B711 B720 B732 B815 B831 B840 F012 F018 F640 H6 H602  
 H608 H681 H689 M280 M312 M322 M332 M342 M362 M392 M411 M431 M510  
 M521 M530 M540 M782 M905 M904 M910  
 RIN: 07746  
 DCN: R00008-K R00008-M  
 DCR: 67298-K 67298-M 67298-U  
 M2 \*12\* F011 F012 F013 F014 F019 F431 F541 F553 G013 G015 G100 H1 H102  
 H121 H182 H2 H202 J0 J011 J3 J331 L922 M1 M116 M121 M123 M136  
 M143 M210 M211 M240 M273 M281 M311 M321 M342 M373 M391 M413 M431  
 M510 M523 M532 M540 M782 M905 M904  
 DCN: RA5GNT-K RA5GNT-M  
 DCR: 123715-K 123715-M  
 M2 \*13\* G031 G034 G038 G039 G060 G750 M210 M211 M240 M283 M320 M415 M431  
 M510 M520 M530 M541 M610 M782 M800 M905 M904  
 RIN: 68858  
 DCN: RABVF3-K RABVF3-M  
 DCR: 786228-K 786228-M

=> => d que stat 17  
L3 STR



VAR G1=O/S  
VAR G2=AK/CY  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

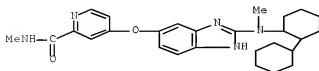
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE  
L7 3 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED 8913 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

=> d ide 17 1-3  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

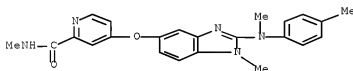
L7 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 1028269-87-5 REGISTRY  
ED Entered STN: 15 Jun 2008  
CN 2-Pyridinecarboxamide, 4-[[2-([1,1'-bicyclohexyl]-2-ylmethylamino)-1H-benzimidazol-6-yl]oxy]-N-methyl- (CA INDEX NAME)  
MF C27 H35 N5 O2  
SR Other Sources  
Database: ChemSpider (ChemZoo, Inc.)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*



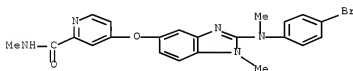
L7 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN  
 RN 611215-10-2 REGISTRY  
 ED Entered STN: 31 Oct 2003  
 CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)  
 MF C23 H23 N5 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

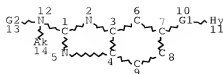
L7 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN  
 RN 611215-02-2 REGISTRY  
 ED Entered STN: 31 Oct 2003  
 CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)  
 MF C22 H20 Br N5 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> d que stat l7
L3          STR
```



```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

```
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14
```

```
STEREO ATTRIBUTES: NONE
L7          3 SEA FILE=REGISTRY SSS FUL L3
```

```
100.0% PROCESSED    8913 ITERATIONS          3 ANSWERS
SEARCH TIME: 00.00.01
```

```
=> d que nos l21
L1          1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US2003-675927/APPS
L3          STR
L7          3 SEA FILE=REGISTRY SSS FUL L3
L8          QUE ABB=ON  PLU=ON  AMIRI, P?/AU
L9          QUE ABB=ON  PLU=ON  FANTL, W?/AU
L10         QUE ABB=ON  PLU=ON  LEVINE, B?/AU
L11         QUE ABB=ON  PLU=ON  POON, D?/AU
L12         QUE ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13         QUE ABB=ON  PLU=ON  RENHOWE, P?/AU
L14         QUE ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15         QUE ABB=ON  PLU=ON  SUNG, L?/AU
L16         QUE ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L17         2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L18         2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR
L19         L11 OR L12 OR L13 OR L14 OR L15 OR L16)
L20         1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L1 AND L18
L21         2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L18 OR L19)
L22         0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 NOT L20
```

```
=> d his l24
```

```
(FILE 'USPATFULL, USPATOLD, USPAT2, TOXCENTER' ENTERED AT 09:25:08 ON 23
SEP 2008)
```

```
L24         0 S L22 NOT L23
```

```
=> d que nos l24
L3          STR
L7          3 SEA FILE=REGISTRY SSS FUL L3
```

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```

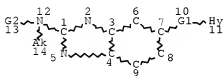
L8      QUE ABB=ON PLU=ON AMIRI, P?/AU
L9      QUE ABB=ON PLU=ON FANTL, W?/AU
L10     QUE ABB=ON PLU=ON LEVINE, B?/AU
L11     QUE ABB=ON PLU=ON POON, D?/AU
L12     QUE ABB=ON PLU=ON RAMURTHY, S?/AU
L13     QUE ABB=ON PLU=ON RENHOWE, P?/AU
L14     QUE ABB=ON PLU=ON SUBRAMANIAN, S?/AU
L15     QUE ABB=ON PLU=ON SUNG, L?/AU
L16     QUE ABB=ON PLU=ON (NOVARTIS OR CHIRON)/CS,SO,PA
L22     6 SEA L7
L23     6 SEA L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR
        L15 OR L16)
L24     0 SEA L22 NOT L23

```

```

=> d que stat l26
L3      STR

```



```

VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

```

```

STEREO ATTRIBUTES: NONE
L26      0 SEA FILE=BEILSTEIN SSS FUL L3

```

```

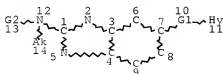
100.0% PROCESSED 1788 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.10

```

```

=> d que stat l28
L3      STR

```



```

VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM

```

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

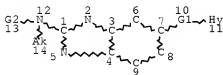
L28 0 SEA FILE=CHEMINFORMRX SSS FUL L3 ( 0 REACTIONS)

100.0% DONE 292 VERIFIED 0 HIT RXNS 0 DOCS

SEARCH TIME: 00.00.10

=> d que stat 130

L3 STR



VAR G1=O/S

VAR G2=AK/CY

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L30 8 SEA FILE=WPIX SSS FUL L3

100.0% PROCESSED 639 ITERATIONS

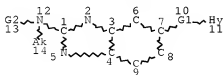
8 ANSWERS

SEARCH TIME: 00.00.05

=> d que 135

L2 2 SEA FILE=WPIX ABB=ON PLU=ON US2003-675927/APPS

L3 STR



VAR G1=O/S

VAR G2=AK/CY

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

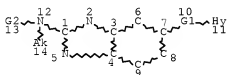
```

L8      QUE ABB=ON PLU=ON AMIRI, P?/AU
L9      QUE ABB=ON PLU=ON FANTL, W?/AU
L10     QUE ABB=ON PLU=ON LEVINE, B?/AU
L11     QUE ABB=ON PLU=ON POON, D?/AU
L12     QUE ABB=ON PLU=ON RAMURTHY, S?/AU
L13     QUE ABB=ON PLU=ON RENHOWE, P?/AU
L14     QUE ABB=ON PLU=ON SUBRAMANIAN, S?/AU
L15     QUE ABB=ON PLU=ON SUNG, L?/AU
L16     QUE ABB=ON PLU=ON (NOVARTIS OR CHIRON)/CS,SO,PA
L30      8 SEA FILE=WPIX SSS FUL L3
L31      2 SEA FILE=WPIX ABB=ON PLU=ON (RAFREO/DCN OR RARYLB/DCN OR
        RARYL/DCN OR RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR
        RARYLH/DCN OR RARYLI/DCN) OR L30/DCR
L32      2 SEA FILE=WPIX ABB=ON PLU=ON L31 AND (L8 OR L9 OR L10 OR L11
        OR L12 OR L13 OR L14 OR L15 OR L16)
L33      0 SEA FILE=WPIX ABB=ON PLU=ON L2 AND L32
L34      4 SEA FILE=WPIX ABB=ON PLU=ON L2 OR L32 OR L33
L35      0 SEA FILE=WPIX ABB=ON PLU=ON L32 NOT L34

```

=> d que stat l38

L36 STR



Ak @15 Cy @16

VAR G1=O/S

VAR G2=15/16

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS ANY AT 1 2 3 4 5 6 7 8 9 11 14 15 16

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L38 5203 SEA FILE=MARPAT SSS FUL L36

100.0% PROCESSED 164831 ITERATIONS ( 1 INCOMPLETE) 5203 ANSWERS  
 SEARCH TIME: 00.01.08

=> d que 150

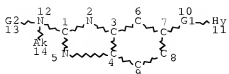
```

L8      QUE ABB=ON PLU=ON AMIRI, P?/AU
L9      QUE ABB=ON PLU=ON FANTL, W?/AU

```

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L10 QUE ABB=ON PLU=ON LEVINE, B?/AU  
 L11 QUE ABB=ON PLU=ON POON, D?/AU  
 L12 QUE ABB=ON PLU=ON RAMURTHY, S?/AU  
 L13 QUE ABB=ON PLU=ON RENHOWE, P?/AU  
 L14 QUE ABB=ON PLU=ON SUBRAMANIAN, S?/AU  
 L15 QUE ABB=ON PLU=ON SUNG, L?/AU  
 L16 QUE ABB=ON PLU=ON (NOVARTIS OR CHIRON)/CS,SO,PA  
 L36 STR



Ak @15 Cy @16

VAR G1=O/S  
 VAR G2=15/16  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 MLEVEL IS ANY AT 1 2 3 4 5 6 7 8 9 11 14 15 16  
 DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L38 5203 SEA FILE=MARPAT SSS FUL L36  
 L39 QUE ABB=ON PLU=ON AY<2005 OR PY<2005 OR MY  
 <2005 OR REVIEW/DT  
 L40 5203 SEA FILE=HCAPLUS ABB=ON PLU=ON L38  
 L41 3625 SEA FILE=HCAPLUS ABB=ON PLU=ON L40 AND L39  
 L42 359 SEA FILE=HCAPLUS ABB=ON PLU=ON L41 AND (?BENZAZOL? OR  
 ?BENZIMIDAZOL? OR (?BENZ(1T)(AZOL? OR IMIDAZOL?)))  
 L43 QUE ABB=ON PLU=ON A61P0035/IPC  
 L44 131 SEA FILE=HCAPLUS ABB=ON PLU=ON L42 AND L43  
 L45 56 SEA FILE=HCAPLUS ABB=ON PLU=ON L44 AND ?KINAS?  
 L46 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND (RAF OR RAS OR  
 RETROVIR? OR (RETRO(1W)VIR?))  
 L47 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L46 AND (L8 OR L9 OR L10 OR  
 L11 OR L12 OR L13 OR L14 OR L15 OR L16)  
 L48 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L46 NOT L47  
 L49 8 SEA FILE=MARPAT ABB=ON PLU=ON L48  
 L50 8 SEA FILE=MARPAT ABB=ON PLU=ON L38 AND L49

=> dup rem 121 124 135 150

L21 HAS NO ANSWERS  
 L24 HAS NO ANSWERS  
 L35 HAS NO ANSWERS

FILE 'MARPAT' ENTERED AT 10:16:37 ON 23 SEP 2008  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2008 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080919/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20080188684	07	AUG	2008
DE	202008001599	31	JUL	2008
EP	1953168	06	AUG	2008
JP	2008181992	07	AUG	2008
WO	2008094958	07	AUG	2008
GB	2444641	11	JUN	2008
FR	2912218	08	AUG	2008
RU	2330029	27	JUL	2008
CA	2615024	14	JUN	2008

Expanded G-group definition display now available.

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PROCESSING COMPLETED FOR L21

PROCESSING COMPLETED FOR L24

PROCESSING COMPLETED FOR L35

PROCESSING COMPLETED FOR L50

L51 8 DUP REM L21 L24 L35 L50 (0 DUPLICATES REMOVED)

ANSWERS '1-8' FROM FILE MARPAT

=> file stnguide

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 YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L51 ANSWER 1 OF 8 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 145:103567 MARPAT Full-text  
 TITLE: Preparation of pyridine carboxamides as anti-cancer agents  
 INVENTOR(S): Almeida, Lysie; Aquila, Brian; Cook, Don; Cowen, Scott; Dakin, Les; Ezhuthachan, Jayachandran; Ioannidis, Stephanos; Lee, John W.; Lee, Stephen; Lyne, Paul Dermot; Pontz, Timothy; Scott, David; Su, Mei; Zheng, Xiaolan  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 186 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

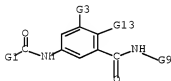
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067446	A1	20060629	WO 2005-GB4986	20051222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005317870	A1	20060629	AU 2005-317870	20051222
CA 2589773	A1	20060629	CA 2005-2589773	20051222
EP 1831198	A1	20070912	EP 2005-820952	20051222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR			
JP 2008525406	T	20080717	JP 2007-547642	20051222
NO 2007002784	A	20070717	NO 2007-2784	20070531
MX 200707574	A	20070724	MX 2007-7574	20070621
IN 2007DN05331	A	20070817	IN 2007-DN5331	20070710
KR 2007091675	A	20070911	KR 2007-716841	20070720
CN 101128454	A	20080220	CN 2005-80048590	20070822
PRIORITY APPLN. INFO.:			US 2004-639234P	20041222
			WO 2005-GB4986	20051222

AB The title compds. I [A = carbocyclyl, heterocyclyl, wherein if said heterocyclyl ring contains an NH moiety that N may be optionally substituted by R5; R5 = alkyl, alkanoyl, Bn, carbamoyl, etc.; each R1 = independently halo, NO2, CN, OH, NH2, (un)substituted alk(en)yl, N,N'-dialkylureido etc.; n = 0-4; R2 = H, halo, (un)substituted alkanoyl, etc.; R3 = halo, OH, CN, Me, OMe, CH2OH; R4 = halo, ureido, sulfamoyl, carboxy, etc.; m = 0-4; with the exclusion of certain compds.] which possess B-Raf inhibitory activity and are accordingly useful for their anti cancer activity and thus in methods of

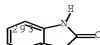


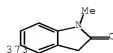
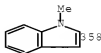
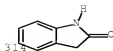
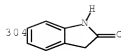
treatment of the human or animal body, were prepared Thus, reacting 5-amino-2-methyl-N-(pyridin-3-yl)benzamide (preparation given) with 3-chlorobenzoic acid in DMF in the presence of HATU afforded II which showed IC<sub>50</sub> of 0.057  $\mu$ M when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of use in the production of an anti-cancer effect in a warm blooded animal such as man.

# MGTS 1



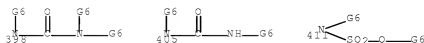
G1 = Ph (opt. substd. by (1-4) G2) /  
 aryl <bicyclic> (opt. substd. by (1-4) G2) /  
 heterocycle <containing zero or more N, zero or more O,  
 zero or more S, 1 or more double bonds, mono- or bicyclic,  
 including 5- or 6-membered rings>  
 (opt. substd. by 1 or more G11) /  
 (Specifically claimed: pyridyl / pyrazolyl / thienyl /  
 indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 /  
 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 /  
 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl /  
 pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)





G2 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /  
 CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6  
 C> / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonyl <containing 1-6 C> /  
 alkoxycarbonylamino <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. subst.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. subst.) / 51 / 53 / 55 / 392 /  
 398 / 405 / 411





G3 = H / F / Cl / Br / I / NO<sub>2</sub> / CN / OH / OCF<sub>3</sub> / NH<sub>2</sub> / CO<sub>2</sub>H / CONH<sub>2</sub> / SH / SO<sub>2</sub>NH<sub>2</sub> / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxy carbonyl <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 34 / 36 / 38



G4 = O / NH / 24 / C(O) / S / S(O) / SO<sub>2</sub>



G5 = carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.)

G6 = alkyl <containing 1-6 C>

G7 = C(O) / SO<sub>2</sub>

G8 = NH / 32



G9 = 3-pyridyl (opt. substd. by (1-4) G10)

G10 = F / Cl / Br / I / NO<sub>2</sub> / CN / OH / OCF<sub>3</sub> / NH<sub>2</sub> / CO<sub>2</sub>H / CONH<sub>2</sub> / SH / SO<sub>2</sub>NH<sub>2</sub> / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /

alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonyl <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.) / 43 / 45 / 47 / NHCONH2

$\text{G4} \text{---} \text{G5}$      $\text{G7} \text{---} \text{G8} \text{---} \text{G5}$      $\text{G8} \text{---} \text{G7} \text{---} \text{G5}$

G11 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /  
 CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
~~dialkylamino <each alkyl containing 1-6 C> / NHCHO /~~  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 /  
 152 / alkoxycarbonyl <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.) / 22 / 26 /  
28 / CH2Ph /  
 CO2CH2Ph / COPh / SO2Ph

$\text{G4} \text{---} \text{G5}$      $\text{G7} \text{---} \text{G8} \text{---} \text{G5}$      $\text{G8} \text{---} \text{G7} \text{---} \text{G5}$

$\begin{array}{c} \text{G6} \\ \text{N} \diagup \\ \text{134} \text{---} \text{SO}_2 \text{---} \text{O} \text{---} \text{G6} \end{array}$



G13 = F / Cl / Br / I / OH / CN / Me / OMe / CH<sub>2</sub>OH

G14 = Me / Bu-t

Patent location: claim 1

Note: or pharmaceutically acceptable salts

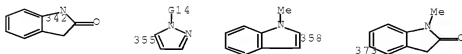
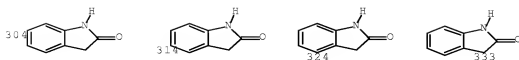
Note: substitution is restricted

MGTR 3

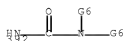


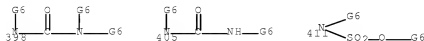
G1 = Ph (opt. substd. by (1-4) G2) /  
 aryl <bicyclic> (opt. substd. by (1-4) G2) /  
 heterocycle <containing zero or more N, zero or more O,  
 zero or more S, 1 or more double bonds, mono- or bicyclic,  
 including 5- or 6-membered rings>  
 (opt. substd. by 1 or more G11) /  
 (Specifically claimed: pyridyl / pyrazolyl / thienyl /  
 indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 /  
 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 /  
 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl /  
 pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)





G2 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /  
 CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6  
 C> / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonyl <containing 1-6 C> /  
 alkoxycarbonylamino <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.) / 51 / 53 / 55 / 392 /  
 398 / 405 / 411





G4 =  $\text{O} / \text{NH} / 24 / \text{C(O)} / \text{S} / \text{S(O)} / \text{SO}_2$



G5 = carbocycle <containing 3-12 C, mono- or bicyclic>  
(opt. substd.) / heterocycle <containing 4-12 atoms,  
zero or more N, zero or more O, zero or more S,  
mono- or bicyclic> (opt. substd.)

G6 = alkyl <containing 1-6 C>

G7 = C(O) / SO<sub>2</sub>

G8 = NH / 32



G11 = F / Cl / Br / I / NO<sub>2</sub> / CN / OH / OCF<sub>3</sub> / NH<sub>2</sub> /  
CO<sub>2</sub>H / CONH<sub>2</sub> / SH / SO<sub>2</sub>NH<sub>2</sub> / alkyl <containing 1-6 C> /  
alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
alkoxy <containing 1-6 C> / CHO /  
alkylcarbonyl <containing 1-6 C> /  
alkylcarbonyloxy <containing 1-6 C> / OCHO /  
alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
alkylcarbonylamino <containing 1-6 C> /  
alkylaminocarbonyl <containing 1-6 C> /  
dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> /  
alkylsulfonyl <containing 1-6 C> /  
alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 /  
152 / alkoxycarbonyl <containing 1-6 C> /  
alkylaminosulfonyl <containing 1-6 C> /  
dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
alkylsulfonylamino <containing 1-6 C> /  
carbocycle <containing 3-12 C, mono- or bicyclic>  
(opt. substd.) / heterocycle <containing 4-12 atoms,  
zero or more N, zero or more O, zero or more S,  
mono- or bicyclic> (opt. substd.) / 22 / 26 /  
28 / CH<sub>2</sub>Ph /  
CO<sub>2</sub>CH<sub>2</sub>Ph / COPh / SO<sub>2</sub>Ph



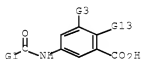


G14 = Me / Bu-t

Patent location:

claim 11

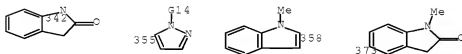
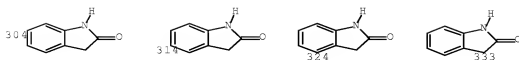
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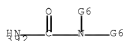
G1 = Ph (opt. substd. by (1-4) G2) /  
 aryl <bicyclic> (opt. substd. by (1-4) G2) /  
 heterocycle <containing zero or more N, zero or more O,  
 zero or more S, 1 or more double bonds, mono- or bicyclic,  
 including 5- or 6-membered rings>  
 (opt. substd. by 1 or more G11) /  
 (Specifically claimed: pyridyl / pyrazolyl / thienyl /  
 indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 /  
 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 /  
 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl /  
 pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)

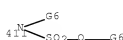
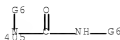
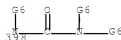






G2 = F / Cl / Br / I / NO<sub>2</sub> / CN / OH / OCF<sub>3</sub> / NH<sub>2</sub> /  
 CO<sub>2</sub>H / CONH<sub>2</sub> / SH / SO<sub>2</sub>NH<sub>2</sub> / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> /  
 alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonyl <containing 1-6 C> /  
 alkoxycarbonylamino <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.) / 51 / 53 / 55 / 392 /  
 398 / 405 / 411





G3 = H / F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /  
 CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> /  
 C / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonyl <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.) / 34 / 36 /  
38



G4 = O / NH / 24 / C(O) / S / S(O) / SO2



G5 = carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. substd.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. substd.)

G6 = alkyl <containing 1-6 C>

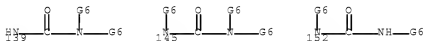
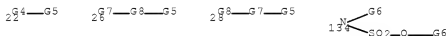
G7 = C(O) / SO2

G8 = NH / 32



G11 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /  
 CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /

alkoxy <containing 1-6 C> / CHO /  
 alkylcarbonyl <containing 1-6 C> /  
 alkylcarbonyloxy <containing 1-6 C> / OCHO /  
 alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> / NHCHO /  
 alkylcarbonylamino <containing 1-6 C> /  
 alkylaminocarbonyl <containing 1-6 C> /  
 dialkylaminocarbonyl <each alkyl containing 1-6 C> /  
 alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> /  
 alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 /  
 152 / alkoxycarbonyl <containing 1-6 C> /  
 alkylaminosulfonyl <containing 1-6 C> /  
 dialkylaminosulfonyl <each alkyl containing 1-6 C> /  
 alkylsulfonylamino <containing 1-6 C> /  
 carbocycle <containing 3-12 C, mono- or bicyclic>  
 (opt. subst.) / heterocycle <containing 4-12 atoms,  
 zero or more N, zero or more O, zero or more S,  
 mono- or bicyclic> (opt. subst.) / 22 / 26 / 28 / CH2Ph /  
 CO2CH2Ph / C(=O)Ph / SO2Ph



G13 = F / Cl / Br / I / OH / CN / Me / OMe / CH2OH

G14 = Me / Bu-t

Patent location: claim 11

AN 145:103567 MARPAT Full-text

ANPL 2006:631355

=> d ibib ab hit 2-8

YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L51 ANSWER 2 OF 8 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 144:233089 MARPAT Full-text

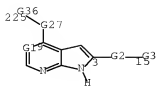
TITLE: Preparation of aryl-amino substituted  
 pyrrolopyrimidine multi-kinase inhibiting compounds as  
 antiproliferative, particularly antitumor agents

INVENTOR(S): Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson,  
 Graham; Gattrell, William; Kitchin, John; Pegg, Neil  
 Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin  
 Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes,

PATENT ASSIGNEE(S): Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew  
 SOURCE: Osi Pharmaceuticals, Inc., USA  
 PCT Int. Appl., 253 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017443	A2	20060216	WO 2005-US27274	20050801
WO 2006017443	A3	20070118		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2575808	A1	20060216	CA 2005-2575808	20050801
US 20060211678	A1	20060921	US 2005-194158	20050801
EP 1797054	A2	20070620	EP 2005-778352	20050801
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101052629	A	20071010	CN 2005-80033538	20050801
JP 2008508358	T	20080321	JP 2007-524889	20050801
BR 2005014094	A	20080527	BR 2005-14094	20050801
MX 200701399	A	20070418	MX 2007-1399	20070201
IN 2007CN00519	A	20070824	IN 2007-CN519	20070206
PRIORITY APPLN. INFO.:			US 2004-598173P	20040802
			US 2005-698516P	20050712
			WO 2005-US27274	20050801

AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of at least two of the Abl, Aurora-A, Btk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 $\beta$ , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Btk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 $\beta$ , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.



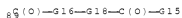
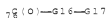
G1 =  $\text{N} / 12$

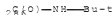
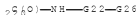
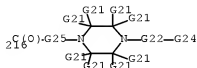
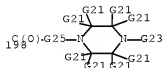
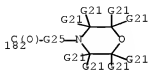
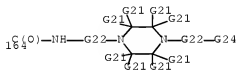
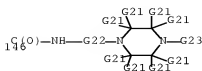
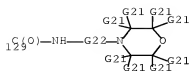


G2 = 21-3 18-15 / 27-3 24-15 / 33-3 30-15 /  
39-3 36-15 / 41-3 43-15



G3 = heteroaryl <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4) /  
56 / heterocycle <containing zero or more O, zero or more S,  
zero or more N> (opt. substd. by (1-6) G4) / 69 / 72 / 62 /  
heteroaryl <containing zero or more O, zero or more S,  
zero or more N> (opt. substd. by (1-6) G4) / 74 / 76 / 79 /  
89 / 99 / 103 / alkylsulfonyl <containing 1 or more C>  
(opt. substd. by (1-6) G10) / 105 / 107 / 129 / 146 / 164 /  
182 / 198 / 216 / 220 / (Specifically claimed: CO2Bu-t / 286)





G4 = F / Cl / Br / I / OH / 46 / 48 /  
alkyl <containing 1-6 C>



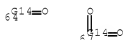
G5 = bond / alkylene <containing 1-6 C>  
G6 = OH / alkoxy <containing 1-6 C> / NH2 /  
alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C>  
G7 = NH2 / alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C> /  
heterocycle <containing zero or more O, zero or more S,  
zero or more N> (opt. substd. by alkyl <containing 1-4 C>) /  
51 / 54



- G8 = heterocycle <containing zero or more O,  
zero or more S, zero or more N>  
(opt. substd. by alkyl <containing 1-4 C>)
- G9 = alkylene <containing 1 or more C>  
(opt. substd. by (1-6) G10)
- G10 = F / Cl / Br / I / OH / OH /  
alkoxy <containing 1-6 C> / NH2 /  
alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C> / 59



- G11 = alkyl <containing 1 or more C>  
(opt. substd. by (1-6) G10)
- G12 = alkylene <containing 1 or more C>  
(opt. substd. by (1-6) G10)
- G13 = heterocycle <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4) /  
64 / 67 / heteroaryl <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4)



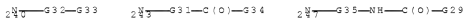
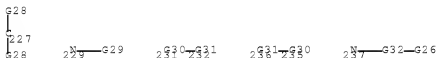
- G14 = heterocycle <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4)
- G15 = H / alkyl <containing 1 or more C>  
(opt. substd. by (1-6) G10)
- G16 = bond / alkylene <containing 1 or more C>  
(opt. substd. by (1-6) G10)
- G17 = OH / alkoxy <containing 1 or more C>  
(opt. substd. by (1-6) G10) / NH2 /  
alkylamino <containing 1 or more C>  
(opt. substd. by (1-6) G10) / dialkylamino <each alkyl  
containing 1 or more C> (opt. substd. by (1-6) G10) /  
heterocycle <containing zero or more O, zero or more S,  
zero or more N> (opt. substd. by (1-6) G4) / 84 / 87



G18 = heterocycle <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4) /  
92 / 95



G19 = heteroaryl <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G4)  
G20 = NH2 / alkylamino <containing 1 or more C>  
(opt. substd. by (1-6) G10) / dialkylamino <each alkyl  
containing 1 or more C> (opt. substd. by (1-6) G10)  
G21 = H / alkyl <containing 1-6 C>  
G22 = alkylene <containing 2-6 C>  
G23 = H / alkyl <containing 1-6 C>  
G24 = OH / NH2 / alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C>  
G25 = alkylene <containing 1-6 C>  
G26 = NH2 / alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C>  
G27 = 227 / NH / 229 / 231-225 232-9 / 236-225 235-9 /  
O / S / 237 / 240 / 243 / 247



G28 = H / alkyl <containing 1-6 C>  
G29 = alkyl <containing 1-6 C>  
G30 = NH / 233



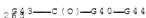
G31 = alkylene <containing 1-6 C>  
G32 = bond / alkylene <containing 2-6 C>  
G33 = OH / alkoxy <containing 1-6 C>  
G34 = NH2 / alkylamino <containing 1-6 C>  
G35 = alkylene <containing 2-6 C>  
G36 = aryl (opt. substd. by (1-6) G37) /  
heteroaryl <containing zero or more O, zero or more S,  
zero or more N> (opt. substd. by (1-6) G37) /  
heterocycle <containing zero or more O, zero or more S,



zero or more N> (opt. substd. by (1-6) G37) / 252 / 255 /  
(Specifically claimed: 289 / 302 / 312)



G37 = F / Cl / Br / I / CN / OH /  
alkyl <containing 1 or more C> (opt. substd. by 1 or more  
G39) / carbocycle <containing 3-10 C> (opt. substd.) /  
alkynyl <containing 2-6 C> (opt. substd.) / NH2 /  
alkylamino <containing 1-6 C> (opt. substd.) /  
dialkylamino <each alkyl containing 1-6 C> (opt. substd.) /  
257 / 265 / 269 / 277 / 279 / aryl (opt. substd.) /  
heteroaryl <containing zero or more O, zero or more S,  
zero or more N> (opt. substd.) /  
heterocycle <containing zero or more O, zero or more S,  
zero or more N> (opt. substd.) / 281 / 284



G38 = heterocycle <containing zero or more O,  
zero or more S, zero or more N> (opt. substd. by (1-6) G37) /  
carbocycle <aromatic, 6 or more normalized bonds,  
1 or more 6-membered rings> (opt. substd. by (1-6) G37)  
G39 = F / Cl / Br / I / R  
G40 = bond / alkylene <containing 1-6 C> (opt. substd.)  
G41 = NH2 / alkylamino <containing 1-6 C> (opt. substd.) /  
dialkylamino <each alkyl containing 1-6 C> (opt. substd.) /  
heterocycle <containing zero or more O, zero or more S,  
zero or more N> (opt. substd.) / 260 / 263



G42 = heterocycle <containing zero or more O,  
zero or more S, zero or more N> (opt. substd.)  
G43 = alkylene <containing 1-6 C> (opt. substd.)  
G44 = NH2 / alkylamino <containing 1-6 C> (opt. substd.) /  
dialkylamino <each alkyl containing 1-6 C> (opt. substd.)  
G45 = heterocycle <containing zero or more O,

2942=0



G46 = OH / alkoxy <containing 1-6 C> (opt. substd.) /  
 NH2 / alkylamino <containing 1-6 C> (opt. substd.) /  
 dialkylamino <each alkyl containing 1-6 C> (opt. substd.) /  
 heteroaryl <containing zero or more O, zero or more S,  
 zero or more N> (opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts

AN 144:233089 MARPAT Full-text

ANPL 2006:152715

L51 ANSWER 3 OF 8 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 144:192247 MARPAT Full-text

TITLE: Substituted cyclic urea derivatives, preparation thereof and pharmaceutical use thereof as kinase inhibitors for treating cancer and other diseases

INVENTOR(S): Strobel, Hartmut; Nemecek, Conception; Lesuisse, Dominique; Ruf, Sven; Guessregen, Stefan; Lebrun, Anne; Ritter, Kurt; Malleron, Jean-Luc

PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1621539	A1	20060201	EP 2004-291905	20040727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
AU 2005266461	A1	20060202	AU 2005-266461	20050725
CA 2571324	A1	20060202	CA 2005-2571324	20050725
WO 2006010642	A1	20060202	WO 2005-EP8721	20050725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1773828	A1	20070418	EP 2005-776537	20050725
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CN 1993359	A	20070704	CN 2005-80025520	20050725
JP 2008508229	T	20080321	JP 2007-523039	20050725
SG 140591	A1	20080328	SG 2008-1117	20050725
BR 2005013863	A	20080520	BR 2005-13863	20050725
IN 2006KN03877	A	20070622	IN 2006-KN3877	20061221
MX 200700735	A	20070330	MX 2007-735	20070118
KR 2007044440	A	20070427	KR 2007-702138	20070126
US 20070259891	A1	20071108	US 2007-627505	20070126
NO 2007001073	A	20070426	NO 2007-1073	20070226
PRIORITY APPLN. INFO.:			EP 2004-291905	20040727
			WO 2005-EP8721	20050725

OTHER SOURCE(S): CASREACT 144:192247

AB The invention relates to the products of formula I (wherein V = a monocyclic or bicyclic heterocycle; R1 = O or NH, p = 0-2; Y and Y1 = OCF3, SF5, (un)substituted alkyl, cycloalkyl, alkylamino, etc.; R2, R2', R3 and R3' = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and heteroaryl, or 2 of R2, R2', R3 and R3' form, together with the C atom(s) to which they are attached, a carbocyclic or heterocyclic radical; A = a bond, alkylene, alkenyl, alkynyl, CO, SO2, O, NH, NH-alkyl; B = s a saturated or unsatd. monocyclic or bicyclic heterocyclic radical; Y2 = H, halogen, OH, CN, alkyl, alkoxy, etc.) as kinase inhibitors for treating cancer and other diseases (no biol. data given). Thus, II was prepared in 2 steps from 2-amino-4-phenylthiazole and 3-methyl-2-[(pyridin-4-ylmethyl)amino]butyric acid Me ester.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTP 1



G1 = {0-2} 10



G2 = H / F / Cl / Br / I / carbon chain <containing up to 12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / cycloalkyl <containing 3-10 C> (opt. substd.) / aryl (opt. substd.) / heteroaryl <containing up to 10 atoms, 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms)> (opt. substd.) / (Specifically claimed: Me)

G3 = 10 / (Specifically claimed: 197)

194-1912



G4 = arylenes (opt. substd. by 1 or more G34) /  
 heteroarylenes <containing up to 10 atoms,  
 1 or more heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms)>  
 (opt. substd. by 1 or more G34) /  
 carbocycle <bicyclic> (opt. substd. by 1 or more G34) /  
 heterocycle <containing 5-11 atoms, 1-2 heteroatoms,  
 zero or more N, zero or more O,  
 zero or more S (no other heteroatoms)>  
 (opt. substd. by 1 or more G34) / 16-3 17-13 /  
 (Specifically claimed: phenylene (opt. substd. by G29))

195-196

G5 = arylenes (opt. substd. by 1 or more G34) /  
heteroarylenes <containing up to 10 atoms,  
1 or more heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms)>  
(opt. substd. by 1 or more G34) /  
 carbocycle <bicyclic> (opt. substd. by 1 or more G34) /  
 heterocycle <containing 5-11 atoms, 1-2 heteroatoms,  
 zero or more N, zero or more O,  
 zero or more S (no other heteroatoms)>  
 (opt. substd. by 1 or more G34)  
 G6 = O / C(O) / S / S(O) / SO<sub>2</sub> / NH / 18 / 20-16 21-13 /  
 22-16 23-13 / 32-16 34-13 / 35-16 37-13 / 39-16 40-13

198-197

2911-198

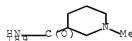
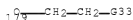
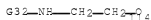
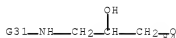
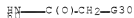
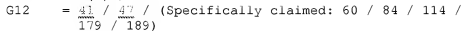
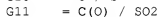
298-1911

398-199-198

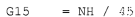
398-C(0)99

391040

G7 = H / carbon chain <containing up to 12 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / cycloalkyl <containing 3-10 C>  
 (opt. substd.) / aryl (opt. substd.) /  
 heteroaryl <containing up to 10 atoms, zero or more N,  
 zero or more O, zero or more S (no other heteroatoms)>  
 (opt. substd.)  
 G8 = NH / 28



- $\text{G13} =$  carbon chain <containing up to 12 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / cycloalkylene <containing 3-10 C>  
 (opt. substd.) / phenylene (opt. substd.) /  
heterocarylene <containing up to 10 atoms,  
1 or more heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms)> (opt. substd.)  
 $\text{G14} =$   $\text{NH}_2$  /  $\frac{43}{113}$  / heterocycle <containing 4-10 atoms,  
 1 or more heteroatoms, 1 or more N, zero or more O,  
 zero or more S (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.)



- $\text{G16} =$  carbon chain <containing up to 12 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / cycloalkyl <containing 3-10 C>

- (opt. substd.) / aryl (opt. substd.) /  
heteroaryl <containing up to 10 atoms,  
1 or more heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms)> (opt. substd.) /  
alkyl <containing up to 12 C> (substd. by 1 or more G17)
- G17 = aryl (opt. substd.) / heteroaryl <containing up to  
10 atoms, 1 or more heteroatoms, zero or more N,  
zero or more O, zero or more S (no other heteroatoms)>  
(opt. substd.)
- G18 = carbon chain <containing up to 12 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / cycloalkyl <containing 3-10 C>  
(opt. substd.)
- G19 = heterocycle <containing 4-8 atoms,  
1 or more heteroatoms, 1 or more N, zero or more O,  
zero or more S (no other heteroatoms)> (opt. substd.)
- G20 = 50 / carbocycle <containing 3-10 C> (opt. substd.) /  
heterocycle <containing 3-10 atoms, 1 or more heteroatoms,  
zero or more N, no O, zero or more S (no other heteroatoms)>  
(opt. substd.)



- G21 = heterocycle <containing 1 or more heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms)> (opt. substd. by 1 or more G25) / 54 /  
(Specifically claimed: 58)



- G22 = carbon chain <containing up to 12 C,  
0 or more double bonds, 0 or more triple bonds> /  
cycloalkylene <containing 3-10 C> / O / C(O) / SO2 / NH / 56



- G23 = heterocycle <containing 1 or more heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms)> (opt. substd. by 1 or more G25)
- G24 = H / alkyl <containing up to 12 C> /  
cycloalkyl <containing 3-10 C>
- G25 = F / Cl / Br / I / carbon chain <containing up to 12  
C, 0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / cycloalkyl <containing 3-10 C>  
(opt. substd.) / aryl (opt. substd.) /  
alkyl <containing up to 12 C> (substd. by 1 or more G26) /  
heteroaryl <containing up to 10 atoms,  
1 or more heteroatoms, zero or more N, zero or more O,

- zero or more S (no other heteroatoms) (opt. substd.) /  
 alkyl <containing up to 12 C> (substd. by 1 or more G27)  
 G26 = aryl (opt. substd.) / R  
 G27 = heteroaryl <containing up to 10 atoms,  
 1 or more heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms)> (opt. substd.)  
 G28 = 4-pyridyl / 95



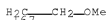
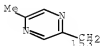
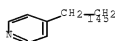
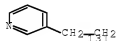
- G29 = R / OCF<sub>3</sub> / OMe  
 G30 = morpholino / NET<sub>2</sub> / thiomorpholino / pyrrolidino /  
 65 / 71

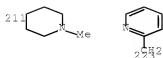


- G31 = 77 / 4-pyridyl / morpholino / 103 / 105 / 204

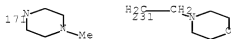


- G32 = 121 / 4-pyridyl / 131 / 137 / Et / CH<sub>2</sub>CH<sub>2</sub>OH /  
 pyrrolidino / 145 / 153 / 159 / 166 / cyclopentyl / 167 /  
 211 / 223





G33 = 171 / morpholino / pyrrolidino / 231



G34 = F / Cl / Br / I / OH /  
carbon chain <containing up to 12 C, 0 or more double bonds,  
0 or more triple bonds> (opt. substd.) /  
cycloalkyl <containing 3-10 C> (opt. substd.) /  
alkoxy <containing up to 12 C> (opt. substd.)

Patent location: claim 1  
Note: additional ring formation and oxo formation also  
claimed  
Note: and addition salts  
Stereochemistry: and racemic, enantiomeric, and diastereoisomeric  
forms

AN 144:192247 MARPAT [Full-text](#)

ANPL [2006:94304](#)

L51 ANSWER 4 OF 8 MARPAT COPYRIGHT 2008 ACS ON SIN

ACCESSION NUMBER: 144:22931 MARPAT [Full-text](#)

TITLE: Preparation of Raf modulators and their use in  
treatment of kinase-dependent diseases

INVENTOR(S): Anand, Neel Kumar; Blazey, Charles M.; Bowles, Owen  
Joseph; Bussenius, Joerg; Costanzo, Simona; Curtis,  
Jeffrey Kimo; Dubenko, Larisa; Kennedy, Abigail R.;  
Defina, Steven Charles; Kim, Angie I.; Manalo,  
Jean-Claire L.; Peto, Csaba J.; Rice, Kenneth D.;  
Tsang, Tsze H.

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Joshi, Anagha Abhijit

SOURCE: PCT Int. Appl., 230 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112932	A2	20051201	WO 2005-US10187	20050325
WO 2005112932	A3	20061123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,



SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

AU 2005244736 A1 20051201 AU 2005-244736 20050325  
 CA 2565200 A1 20051201 CA 2005-2565200 20050325  
 EP 1751124 A2 20070214 EP 2005-731363 20050325

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
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 HR, LV, MK, YU

JP 2007536224 T 20071213 JP 2007-511366 20050325  
 US 20080009488 A1 20080110 US 2007-568789 20070904  
 US 2004-569009P 20040507  
 WO 2005-US10187 20050325

PRIORITY APPLN. INFO.:

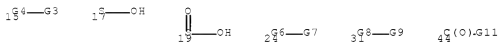
AB The invention is related to compds. of formula (I) [A = (un)substituted 3- to 7-membered ortho-arylene, or a 5- to 6-membered ortho-heteroarylene; X1, X2, X3 = independently O, -N-, SO, SO2, S, etc.; E, Y = independently absent, CH2 and derivs., CO, -CH: and derivs., -N-, but E, Y are not both absent, and E, Y are not both -N- when both Z and X are -N-; G = CHO and derivs., (un)substituted arylalkyl, heterocyclalkyl, SH and derivs., etc.; with the exception of certain compds.], and their tautomers, and their pharmaceutically acceptable salts, hydrates and prodrugs useful for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. I modulate protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. I inhibit, regulate and/or modulate kinases, particularly Raf. Methods of using compds. I, and their pharmaceutical compns., to treat kinase-dependent diseases and conditions are also an aspect of the invention. The invention is also related to the preparation of compds. I. For example, reacting 2-[(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)carbonyl]benzoic acid with 4-chlorobenzylamine gave II in 15% yield. Selected I inhibited c-Raf kinase with IC50 values of less than 100 nM.

REST 1

G25-612

- G1 = carbocycle <containing 3 or more C, non-aromatic>  
 (opt. substd.) / heterocycle <containing zero or more N,  
 zero or more O, zero or more S,  
 zero or more P (no other heteroatoms), 3 or more C,  
 polycyclic> (opt. substd.) / carbocycle <containing 6 or  
 more C, aromatic, 6 or more normalized bonds,  
 mono- or polycyclic, 1 or more 6-membered rings>  
 (opt. substd. by 1 or more G2) / o-C6H4 /  
 heteroarylene <containing zero or more N, zero or more O,  
 zero or more S, zero or more P (no other heteroatoms),  
 mono- or polycyclic> (opt. substd.)
- G2 = F / Cl / Br / I / CN / NO2 / OH / 15 / NH2 / SH /  
 17 / 19 / heterocycle <containing 5-7 atoms, 1 or more N,  
 zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),

attached through 1 or more N> (opt. substd.) / 24 / 31 / 44 /  
 48 / carbon chain <containing 1-6 C, 0 or more double bonds,  
 0 or more triple bonds> (opt. substd.) /  
 aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.) /  
 carbon chain <containing 1-6 C, 0 or more double bonds,  
 0 or more triple bonds> (substd. by 1 or more G5)



G3 = carbon chain <containing 1-6 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
zero or more N, zero or more O, zero or more S,  
zero or more P (no other heteroatoms)> (opt. substd.) /  
carbon chain <containing 1-3 C, 0 or more double bonds,  
0 or more triple bonds> (substd. by 1 or more G5)

G4 = C / NH / 22 / S / S(O) / SO2



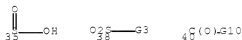
G5 = aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.)  
 G6 = SO2 / C(O)  
 G7 = NH2 / 26 / 29 / heterocycle <containing 5-7 atoms,  
 1 or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.)



G8 = NH / 33



G9 = 35 / 38 / 40

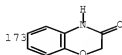
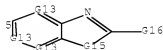


G10 = H / carbon chain <containing 1-6 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
zero or more N, zero or more O, zero or more S,  
zero or more P (no other heteroatoms)> (opt. substd.) /  
carbon chain <containing 1-3 C, 0 or more double bonds,  
0 or more triple bonds> (substd. by 1 or more G5) / OH / 42



G11 = H / carbon chain <containing 1-6 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
zero or more N, zero or more O, zero or more S,  
zero or more P (no other heteroatoms)> (opt. substd.) /  
carbon chain <containing 1-3 C, 0 or more double bonds,  
0 or more triple bonds> (substd. by 1 or more G5)

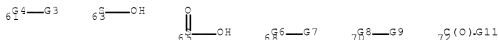
G12 = any ring <containing 9-10 atoms, 3 or more C,  
0-6 heteroatoms, 0-6 N, 0-2 O, 0-2 S (no other heteroatoms),  
aromatic, 6 or more normalized bonds, bicyclic,  
(0-1) 5-membered, (1-2) 6-membered rings only>  
(opt. substd. by 1 or more G19) / 130 / 132 / 5 / 173



G13 = N / 59



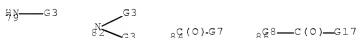
G14 = H / F / Cl / Br / I / CN / NO2 / OH / 61 / NH2 /  
 SH / 63 / 65 / heterocycle <containing 5-7 atoms,  
 1 or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.) / 68 / 70 / 72 /  
 76 / carbon chain <containing 1-6 C, 0 or more double bonds,  
 0 or more triple bonds> (opt. substd.) /  
 aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.) /  
 carbon chain <containing 1-6 C, 0 or more double bonds,  
 0 or more triple bonds> (substd. by 1 or more G5)



G15 = 77 / S / S(O) / SO2 / O



G16 = H / carbon chain <containing 1-6 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.) /  
 carbon chain <containing 1-3 C, 0 or more double bonds,  
 0 or more triple bonds> (substd. by 1 or more G5) / NH2 /  
 79 / 82 / heterocycle <containing 5-7 atoms, 1 or more N,  
 zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.) / 84 / 86



G17 = H / carbon chain <containing 1-6 C,

0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.) /  
 carbon chain <containing 1-3 C, 0 or more double bonds,  
 0 or more triple bonds> (substd. by 1 or more G5) / OH /  
 NH2 / 89 / heterocycle <containing 5-7 atoms, 1 or more N,  
 zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.)



G18 = O / NH / 91



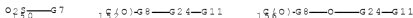
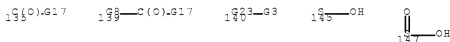
G19 = F / Cl / Br / I / CN / NO2 / OH / 107 / NH2 / SH /  
 109 / 111 / heterocycle <containing 5-7 atoms, 1 or more N,  
 zero or more O, zero or more S,  
 zero or more P (no other heteroatoms),  
 attached through 1 or more N> (opt. substd.) / 114 / 116 /  
 118 / 122 / carbon chain <containing 1-6 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /  
 heterocycle <containing 3-15 atoms, 1-5 heteroatoms,  
 zero or more N, zero or more O, zero or more S,  
 zero or more P (no other heteroatoms)> (opt. substd.) /  
 carbon chain <containing 1-6 C, 0 or more double bonds,  
 0 or more triple bonds> (substd. by 1 or more G5)



G20 = 123 / 126 / 128



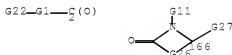
- G21 = any ring <containing 9-10 atoms, 3 or more C, 0-6 heteroatoms, 0-6 N, 0-2 O, 0-2 S (no other heteroatoms), aromatic, 6 or more normalized bonds, bicyclic, (0-1) 5-membered, (1-2) 6-membered rings only> (opt. substd.)
- G22 = 135 / 139 / NH2 / 140 / SH / 145 / 147 / heterocycle <containing 5-7 atoms, 1 or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), attached through 1 or more N> (opt. substd.) / 150 / 152 / 156 / aryl <containing 6-14 C> (opt. substd.) / heterocycle <containing 3-15 atoms, 1-5 heteroatoms, zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms)> (opt. substd.) / carbon chain <containing 1-3 C, 0 or more double bonds, 0 or more triple bonds> (substd. by 1 or more G5)



- G23 = NH / 143 / S / S(O) / SO2



- G24 = bond / carbon chain <containing 1 or more C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / CH2
- G25 = 2 / 166



- G26 = carbocycle <containing 3 or more C, non-aromatic> (opt. substd.) / heterocycle <containing zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), 3 or more C, polycyclic> (opt. substd.) / carbocycle <containing 6 or

more C, aromatic, 6 or more normalized bonds,  
 mono- or polycyclic, 1 or more 6-membered rings>  
 (opt. substd. by 1 or more G2) / o-C6H4 /  
 heteroarylene <containing zero or more N, zero or more O,  
 zero or more S, zero or more P (no other heteroatoms),  
 mono- or polycyclic> (opt. substd.)  
 G27 = H / OH / carbon chain <containing 1-6 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.) / 170

197—G28

G28 = carbon chain <containing 1-6 C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd.)

Patent location: claim 1  
 Note: or pharmaceutically acceptable salts, hydrates or  
 prodrugs  
 Note: also incorporates later claims  
 Note: substitution is restricted

AN 144:22931 MARPAT Full-textANPL 2005:1262437

L51 ANSWER 5 OF 8 MARPAT COPYRIGHT 2008 ACS on SIN

ACCESSION NUMBER: 143:266924 MARPAT Full-textTITLE: Preparation of ureidoalkyl-substituted benzimidazole  
 derivatives as kinase inhibitorsINVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber,  
 Frank; Amendt, Christiane; Grell, Mathias; Sirrenberg,  
 Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

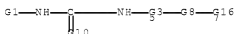
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082862	A2	20050909	WO 2005-EP1445	20050214
WO 2005082862	A3	20051201		
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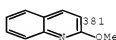
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 JP 2007523929 T 20070823 JP 2007-500097 20050214  
 US 20070191444 A1 20070816 US 2006-590798 20060825  
 PRIORITY APPLN. INFO.: EP 2004-4332 20040226  
 EP 2004-4967 20040303  
 WO 2005-EP1445 20050214

AB Title compds. I [Arl = aromatic hydrocarbon; E, D = divalent alkyl; R8-10 = H, cyloalkyl, halo, alkylhalo, etc.; Y = O, S, etc.; p = 0-5; q = 0-4] are prepared For instance, N-[2-(4-nitrophenyl)ethyl]acetamide is reduced, acetylated and deacetylated to give 4-(2-aminoethyl)-3-nitroaniline. This is converted to the urea with 4-chloro-3-(trifluoromethyl)isocyanate and subsequently reduced to the corresponding diamine. Treatment of this with cyanogen bromide and subsequent acetylation provide example compound II. I are modulators of, e.g., A-Raf, B-Raf, Tie-1, etc. kinases [no data] and are useful for the treatment of cancer.

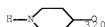
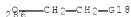
# MSTR 1



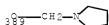
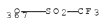
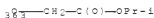
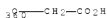
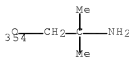
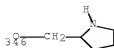
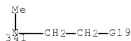
G1 = aryl <containing 6-14 C>  
 (opt. substd. by (1-5) G4) / heterocycle <containing 1-2  
heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms), 3-10 C,  
1 or more double bonds> (opt. substd. by (1-5) G4) /  
 (Specifically claimed: Ph (opt. substd. by 1 or more G2) /  
 262 / 264 / thienyl (opt. substd. by 1 or more G22) /  
 pyridyl (opt. substd. by 1 or more G21) /  
 isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)



G2 = R / (Specifically claimed: C1 / CF3 / 286 / OMe /  
 Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 /  
 354 / piperazino / NMe2 / NEt2 / pyrrolidino / NHMe / 360 /  
 CO2Me / 363 / Et / SO2Me / 367 / OSO2Me / 370 / Pr-i / SCN /  
 CO2Et / NO2)







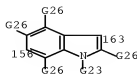
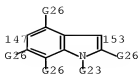
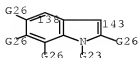
- G3 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds>  
(opt. substd. by 1 or more G9) / G14
- G4 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
Br / I / NO2 / NH2 (opt. substd.) / OH (opt.  
substd.) /  
heterocycle <containing 1-3 N, zero or more O,  
zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 7-membered monocyclic  
ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R

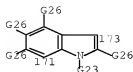


- G5 = OH (opt. substd.) / NH2 (opt. substd.) /  
carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R
- G6 = CO2H (opt. substd.) / 15



- G7 = NH2 (opt. substd.) / OH (opt. substd.)
- G8 = 138-5 143-7 / 147-5 153-7 / 156-5 163-7 /  
171-5 173-7

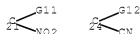




- G9 = cycloalkyl <containing 3 or more C> (opt. substd.) / 256 / heterocycle <containing 3 or more atoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), non-aromatic, saturated> (opt. substd.)



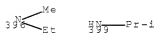
- G10 = O / S / NH (opt. substd.) / 21 / 24



- G11 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R  
 G12 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / CN / R  
 G13 = heterocycle <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 0 or more double bonds> (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R  
 G14 = (1-3) CH2 (opt. substd.)  
 G15 = alkyl <containing 1 or more C> (opt. substd.)  
 G16 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 294 / 296 / OCN / NCO / 299 / R / (Specifically claimed: CONHMe / CO2Me / NHC(OMe) / (Example: 301))



G17 = NH2 / NHMe / NMe2 / NEt2 / OH / OMe / OEt  
 G18 = NHMe / NH2 / (Examples: morpholino / NEt2 /  
 piperazino / pyrrolidino / NMe2 / 396 / 399)



G19 = OMe / NMe2  
 G20 = NH2 / 371 / NEt2 / morpholino / CF3



G21 = R / (Examples: Cl / OMe / CF3)  
 G22 = R / (Examples: Me / CO2Me / Et / CO2Et / Br / Bu-t /  
 Cl)  
 G23 = H / R / (Specifically claimed: carbon chain  
 <containing 1 or more C, 0 or more double bonds,  
 no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / 401 /  
 403 / heterocycle <containing 3 or more atoms,  
 zero or more N, zero or more O,  
 zero or more S (no other heteroatoms), non-aromatic,  
 saturated> (opt. substd.)



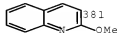
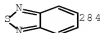
G24 = alkylene <containing 1 or more C> (opt. substd.)  
 G25 = cycloalkyl <containing 3 or more C> (opt. substd.) /  
 alkoxy <containing 1 or more C> (opt. substd.)  
 G26 = H / R / (Examples: Me / Et)  
 Patent location: claim 3  
 Note: and pharmaceutically acceptable derivatives, salts,  
 and solvates  
 Note: substitution is restricted  
 Note: additional substitution also claimed

MSTR 3

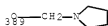
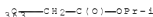
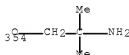
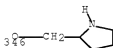
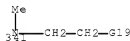
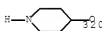
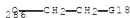
G1-G23

G1 = aryl <containing 6-14 C>  
 (opt. substd. by (1-5) G4) / heterocycle <containing 1-2  
heteroatoms, zero or more N, zero or more O,

zero or more S (no other heteroatoms), 3-18 C,  
 1 or more double bonds> (opt. substd. by (1-5) G4) /  
 (Specifically claimed: Ph (opt. substd. by 1 or more G2) /  
 262 / 264 / thienyl (opt. substd. by 1 or more G22) /  
 pyridyl (opt. substd. by 1 or more G21) /  
 isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)



G2 = R / (Specifically claimed: Cl / CF<sub>3</sub> / 286 / OMe /  
 Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 /  
 354 / piperazino / NMe<sub>2</sub> / NEt<sub>2</sub> / pyrrolidino / NHMe / 360 /  
 CO<sub>2</sub>Me / 363 / Et / SO<sub>2</sub>Me / 367 / OSO<sub>2</sub>Me / 370 / Pr-i / SCN /  
 CO<sub>2</sub>Et / NO<sub>2</sub>)



G4 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO<sub>2</sub> / NH<sub>2</sub> (opt. substd.) / OH (opt.  
 substd.) /  
 heterocycle <containing 1-3 N, zero or more O,  
 zero or more S (no other heteroatoms),  
 attached through 1 or more N, 5- to 7-membered monocyclic  
 ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R



G24 = R &lt;"metal atom"&gt;

Patent location:

claim 28

Note:

substitution is restricted

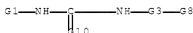
Note:

additional substitution also claimed

Note:

also incorporates claim 29, formula IIIB

MSTR 5



G1 = aryl &lt;containing 6-14 C&gt;

(opt. substd. by (1-5) G4) / heterocycle &lt;containing 1-2

heteroatoms, zero or more N, zero or more O,

zero or more S (no other heteroatoms), 3-10 C,

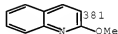
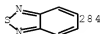
1 or more double bonds&gt; (opt. substd. by (1-5) G4) /

(Specifically claimed: Ph (opt. substd. by 1 or more G2) /

262 / 264 / thienyl (opt. substd. by 1 or more G22) /

pyridyl (opt. substd. by 1 or more G21) /

isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)



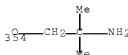
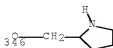
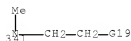
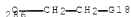
G2 = R / (Specifically claimed: Cl / CF3 / 286 / OMe /

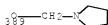
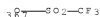
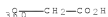
Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 /

354 / piperazino / NMe2 / NEt2 / pyrrolidino / NHMe / 360 /

CO2Me / 363 / Et / SO2Me / 367 / OSO2Me / 370 / Pr-i / SCN /

CO2Et / NO2)





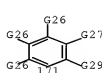
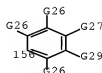
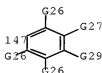
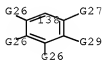
- G3 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds>  
(opt. substd. by 1 or more G9) / G14
- G4 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
Br / I / NO<sub>2</sub> / NH<sub>2</sub> (opt. substd.) / OH (opt.  
substd.) /  
heterocycle <containing 1-3 N, zero or more O,  
zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 7-membered monocyclic  
ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R



- G5 = OH (opt. substd.) / NH<sub>2</sub> (opt. substd.) /  
carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R
- G6 = CO<sub>2</sub>H (opt. substd.) / 15



- G7 = NH<sub>2</sub> (opt. substd.) / OH (opt. substd.)
- G8 = 138 / 147 / 156 / 171



- G9 = cycloalkyl <containing 3 or more C> (opt. substd.) /  
256 / heterocycle <containing 3 or more atoms,  
zero or more N, zero or more O,  
zero or more S (no other heteroatoms), non-aromatic,  
saturated> (opt. substd.)



G10 = O / S / NH (opt. substd.) / 21 / 24



- G11 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R
- G12 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
CN / R
- G13 = heterocycle <containing zero or more N,  
zero or more O, zero or more S (no other heteroatoms),  
0 or more double bonds> (opt. substd.) /  
carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R
- G14 = (1-3) CH<sub>2</sub> (opt. substd.)
- G15 = alkyl <containing 1 or more C> (opt. substd.)
- G18 = NHMe / NH<sub>2</sub> / (Examples: morpholino / NEt<sub>2</sub> /  
piperazino / pyrrolidino / NMe<sub>2</sub> / 396 / 399)



- G19 = OMe / NMe<sub>2</sub>
- G20 = NH<sub>2</sub> / 371 / NEt<sub>2</sub> / morpholino / CF<sub>3</sub>



- G21 = R / (Examples: Cl / OMe / CF<sub>3</sub>)
- G22 = R / (Examples: Me / CO<sub>2</sub>Me / Et / CO<sub>2</sub>Et / Br / Bu-t /  
Cl)
- G24 = alkylene <containing 1 or more C> (opt. substd.)
- G25 = cycloalkyl <containing 3 or more C> (opt. substd.) /  
alkoxy <containing 1 or more C> (opt. substd.)
- G26 = H / R / (Examples: Me / Et)
- G27 = NH<sub>2</sub> / 424



- G28 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /



cycloalkyl <containing 3 or more C> (opt. substd.) / 426 /  
 428 / heterocycle <containing 3 or more atoms,  
 zero or more N, zero or more O,  
 zero or more S (no other heteroatoms), non-aromatic,  
 saturated> (opt. substd.)

424-G25 428-G15

G29 = NH2 / NO2

Patent location: claim 28

Note: substitution is restricted

Note: additional substitution also claimed

AN 143:266924 MARPAT Full-text

ANPL 2005:979621

L51 ANSWER 6 OF 8 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 142:134604 MARPAT Full-text

TITLE: Preparation of benzimidazole amides as raf kinase inhibitors

INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Wiesner, Matthias; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004864	A1	20050120	WO 2004-EP6419	20040615
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004255403	A1	20050120	AU 2004-255403	20040615
CA 2531859	A1	20050120	CA 2004-2531859	20040615
EP 1653951	A1	20060510	EP 2004-739891	20040615
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007513054	T	20070524	JP 2006-519783	20040615
US 20070010560	A1	20070111	US 2006-564185	20060807
PRIORITY APPLN. INFO.:			EP 2003-15582	20030711
			WO 2004-EP6419	20040615

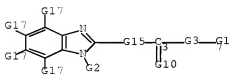
OTHER SOURCE(S): CASREACT 142:134604

AB Title compds. I [R6-7 = H, A, SO2A; A = alkyl, alkenyl, cycloalkyl, etc.; Ar2 = aromatic hydrocarbon; R8-10 = H, A, cycloalkyl, etc.; X = divalent alkyl,

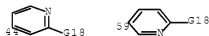
etc.; p, n = 0-5; q = 0-4] are prepared. For instance, II is prepared from the corresponding 2-aminoimidazole and carboxylic acid (DMF, TBTU, HOBT, i-Pr2NEt). I are raf kinase inhibitors and are useful for the treatment of cancer.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

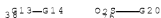
MFSTP 1



G1 = aryl <containing 6-14 C> (opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) / (Specifically claimed: 44 / 59)



G2 = H / alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 38 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.)) / 76



G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7



G4 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic

ring> (opt. substd.) / 10 / 12 / OCN / NCO / R



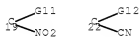
- G5 = OH (opt. substd.) / NH<sub>2</sub> (opt. substd.) /  
 carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / R
- G6 = CO<sub>2</sub>H (opt. substd.) / 15



- G7 = NH<sub>2</sub> (opt. substd.) / OH (opt. substd.)
- G8 = carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / O /  
 S / NH (opt. substd.) / 17 / S(O) / SO<sub>2</sub> /  
 R <"bridging group">



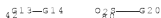
- G9 = O / S / NH (opt. substd.)
- G10 = O / S / NH (opt. substd.) / 19 / 22



- G11 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / R
- G12 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 CN / R
- G13 = alkylene <containing 1 or more C> (opt. substd.)
- G14 = cycloalkyl <containing 3 or more C> (opt. substd.)
- G15 = NH / 40



- G16 = alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 42 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.)) /  
 80



G17 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
heterocycle <containing 1-3 heteroatoms, 1 or more N,  
zero or more O, zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 7-membered monocyclic  
ring> (opt. substd.) / 64 / 66 / OCN / NCO / R /  
(Specifically claimed: Me / CF3)



G18 = H / carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
heterocycle <containing 1-3 heteroatoms, 1 or more N,  
zero or more O, zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 7-membered monocyclic  
ring> (opt. substd.) / 69 / 71 / OCN / NCO / R /  
(Specifically claimed: CONHMe)

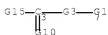


G19 = phenylene (opt. substd. by (1-4) G4)  
G20 = alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 78 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.))



Patent location:	claim 1
Note:	and physiologically acceptable derivatives, salts, and solvates
Note:	substitution is restricted
Note:	additional substitution also claimed

MFSP 3



G1 = aryl <containing 6-14 C>  
 (opt. substd. by (1-5) G4) / heterocycle <containing 1-2  
heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms), 3-10 C,  
1 or more double bonds> (opt. substd. by (1-5) G4) /  
 (Specifically claimed: 44 / 59)



G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7



G4 = carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, 5- to 7-membered monocyclic  
 ring> (opt. substd.) / 10 / 12 / OCN / NCO / R



G5 = OH (opt. substd.) / NH2 (opt. substd.) /  
 carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / R  
 G6 = CO2H (opt. substd.) / 15



G7 = NH2 (opt. substd.) / OH (opt. substd.)  
 G8 = carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / O /  
 S / NH (opt. substd.) / 17 / S(O) / SO2 /  
 R <"bridging group">



G9 = O / S / NH (opt. substd.)  
 G10 = O / S / NH (opt. substd.) / 19 / 22



G11 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / R  
 G12 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 CN / R  
 G15 = Cl / Br / I / OH / 76 / diazonium



G18 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, 5- to 7-membered monocyclic  
 ring> (opt. substd.) / 69 / 71 / OCN / NCO / R /  
 (Specifically claimed: CONHMe)



G19 = phenylene (opt. substd. by {1-4} G4)  
 G20 = R <"ester group">

Patent location: claim 29

AN 142:134604 MARPAT Full-text  
 ANPL 0005:55062

L51 ANSWER 7 OF 8 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 142:134603 MARPAT Full-text  
 TITLE: A preparation of benzimidazolecarboxamide derivatives,  
 useful as raf-kinase inhibitors  
 INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Zenke,  
 Frank; Amendt, Christiane; Grell, Matthias;  
 Sirrenberg, Christian  
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany  
 SOURCE: PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

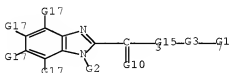
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004863	A1	20050120	WO 2004-EP6337	20040611
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004255402	A1	20050120	AU 2004-255402	20040611
CA 2531856	A1	20050120	CA 2004-2531856	20040611
EP 1643991	A1	20060412	EP 2004-739826	20040611
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007506676	T	20070322	JP 2006-519782	20040611
US 20070093532	A1	20070426	US 2006-564184	20060807
PRIORITY APPLN. INFO.:			EP 2003-15583	20030711
			WO 2004-EP6337	20040611

AB The invention relates to a preparation of benzimidazolecarboxamide derivs. of formula I [wherein: R1 is 0 to 5 independent substituents selected from H, cycloalkyl, halogen, CH2-halogen, or (CH2)0-5-CN, etc.; R2 and R3 are independently selected from H, (cyclo)alkyl, alkoxy, or SO2-(cyclo)alkyl, etc.; R4 is 1 to 5 substituted phenyl; Y is O, S, or C(CN)2, etc.], useful as raf-kinase inhibitors. For instance, benzimidazolecarboxamide derivative of formula II was prepared via amidation of 5-chlorobenzimidazolecarboxylic acid by 4-(4-pyridinyloxy)phenylamine with a yield of 75%. The preferred compound of the invention are raf-kinase inhibitors and showed IC50 values in the range of 100 µM or below.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1



G1 = aryl <containing 6-14 C>  
(opt. substd. by (1-5) G4) / heterocycle <containing 1-2  
heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms), 3-10 C,  
1 or more double bonds (opt. substd. by (1-5) G4) /  
(Specifically claimed: 44 / 59)



G2 = H / alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 38 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.)) /  
77



G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7



G4 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) /  
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
heterocycle <containing 1-3 heteroatoms, 1 or more N,  
zero or more O, zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 7-membered monocyclic  
ring> (opt. substd.) / 10 / 12 / OCN / NCO / R



G5 = OH (opt. substd.) / NH2 (opt. substd.) /  
carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R  
G6 = CO2H (opt. substd.) / 15

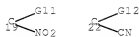


G7 = NH2 (opt. substd.) / OH (opt. substd.)  
G8 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / O /  
S / NH (opt. substd.) / 17 / S(O) / SO2 /  
R <"bridging group">





- G9 = O / S / NH (opt. substd.)  
 G10 = O / S / NH (opt. substd.) / 19 / 22



- G11 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) / R  
 G12 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 CN / R  
 G13 = alkylene <containing 1 or more C> (opt. substd.)  
 G14 = cycloalkyl <containing 3 or more C> (opt. substd.)  
 G15 = NH / 40



- G16 = alkyl <containing 1 or more C> (opt. substd.) /  
 alkenyl / cycloalkyl <containing 3 or more C>  
 (opt. substd.) / 42 / alkoxy <containing 1 or more C>  
 (opt. substd.) / alkyl <containing 1 or more C>  
 (substd. by alkoxy <containing 1 or more C> (opt. substd.)) /  
 81



- G17 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, 5- to 7-membered monocyclic  
 ring> (opt. substd.) / 64 / 66 / OCN / NCO / R /  
 (Specifically claimed: Me / CF3)



- G18 = H / carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),

attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 69 / 71 / OCN / NCO / R /  
(Specifically claimed: CONHMe / CO2Me)



- G19 = phenylene (opt. substd. by (1-4) G4)  
 G20 = alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 79 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.))



Patent location: claim 1  
 Note: and physiologically acceptable derivatives, salts,  
 and solvates  
 Note: substitution is restricted  
 Note: additional substitution also claimed

NOTR 3



- G1 = aryl <containing 6-14 C>  
(opt. substd. by (1-5) G4) / heterocycle <containing 1-2  
heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms), 3-10 C,  
1 or more double bonds> (opt. substd. by (1-5) G4)  
 G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7



- G4 = carbon chain <containing 1 or more C,  
 0 or more double bonds, no triple bonds> (opt. substd.) /  
 cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /  
 Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, 5- to 7-membered monocyclic  
 ring> (opt. substd.) / 10 / 12 / OCN / NCO / R



G5 = OH (opt. substd.) / NH2 (opt. substd.) /  
carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / R  
G6 = CO2H (opt. substd.) / 15

H<sub>2</sub>C—G7

G7 = NH2 (opt. substd.) / OH (opt. substd.)  
G8 = carbon chain <containing 1 or more C,  
0 or more double bonds, no triple bonds> (opt. substd.) / O /  
S / NH (opt. substd.) / 17 / S(O) / SO2 /  
R <"bridging group">

I<sub>2</sub>—G9

G9 = O / S / NH (opt. substd.)  
G13 = alkylene <containing 1 or more C> (opt. substd.)  
G14 = cycloalkyl <containing 3 or more C> (opt. substd.)  
G15 = NH2 / 40 / 83

M<sub>2</sub>—G16      O<sub>2</sub>G21      G22

G16 = alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 42 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.)) /  
81

N<sub>2</sub>G13—G14      O<sub>2</sub>G2—G20

G19 = phenylene (opt. substd. by (1-4) G4)  
G20 = alkyl <containing 1 or more C> (opt. substd.) /  
alkenyl / cycloalkyl <containing 3 or more C>  
(opt. substd.) / 79 / alkoxy <containing 1 or more C>  
(opt. substd.) / alkyl <containing 1 or more C>  
(substd. by alkoxy <containing 1 or more C> (opt. substd.))

O<sub>2</sub>G13—G14

G21 = NH2 / 85

HY—G16

G22 = R <"metal ion">  
 Patent location: claim 29  
 Note: substitution is restricted  
 Note: additional substitution also claimed

AN 142:134603 MARPAT Full-text  
 ANPL 2005:55061

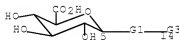
L51 ANSWER 8 OF 8 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 144:64366 MARPAT Full-text  
 TITLE: Method for treating or preventing obesity with  
 adipogenesis-inhibiting agents which antagonize  
 fibroblast growth factor signaling  
 INVENTOR(S): Prins, Johannes Bernhard; Hutley, Louise Joyce;  
 Mcgeary, Ross Peter  
 PATENT ASSIGNEE(S): Australia  
 SOURCE: U.S. Pat. Appl. Publ., 145 pp., Cont.-in-part of Appl.  
 No. PCT/AU03/00826.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050282733	A1	20051222	US 2004-21305	20041223
WO 2004003179	A1	20040108	WO 2003-AU826	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-392130P	20020627
			WO 2003-AU826	20030627
			EP 2004-900050	20040107

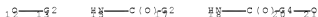
AB The invention discloses methods and agents for modulating the differentiation potential and/or proliferation of preadipocytes, i.e., adipogenesis, by antagonizing fibroblast growth factor signaling. These agents may be used to prevent or treat obesity. The antiobesity agents include 6-arylpyrido[2,3-d]pyrimidines and naphthyridines, 2-arylbenzimidazoles, benzofuro[3,2-c]quinolines, pyrimidine derivs., 2,2'-dithiobis(1H-indoles), 4-anilinoquinazolines, 4-anilinoquinolines and cinnolines, 1-oxo-3-aryl-1H-indene carboxylic acid derivs., indolinones, 8-prenylflavonones, tetrahydropyridazines and tetrahydropyridizin-3-ones, sulfonamide-containing heterocyclic compds., etc. Addnl. agents include sugars, oligosaccharides, and carbohydrates such as carrageenans, salts or complexes of sulfated saccharides, and sulfomannans, and RNA binding to FGF-2 or peptides which antagonize FGF-2 binding to its receptor. Thus, inhibition of post-fibroblast

growth factor receptor signal transduction was shown to have marked effects on FGF-1-mediated human adipogenesis. Inhibition of protein kinase C, phosphatidylinositol 3-kinase, and phospholipase C $\gamma$  all significantly reduced differentiation. MEK and p38 kinase inhibition during preadipocyte replication phase alone significantly reduced subsequent differentiation.

# FIG. 19



G1 = 1C-5 13-14 / 15-5 17-14 / 18-5 21-14



G2 = {0-6} CH2

G3 = cycloalkyl <containing 3-6 C>  
(opt. substd. by 1 or more G6) /  
Ph (opt. substd. by 1 or more G6) /  
heterocycle <containing 5-10 atoms, 1-4 heteroatoms,  
zero or more N, zero or more O,  
zero or more S (no other heteroatoms), non-aromatic>  
(opt. substd. by 1 or more G6) /  
heteroaryl <containing up to 11 atoms, 1-4 heteroatoms,  
zero or more N, zero or more O,  
zero or more S (no other heteroatoms)>  
(opt. substd. by 1 or more G6) / 22



G4 = (1-6) CH2

G5 = carbocycle <containing 3-6 C, non-aromatic,  
saturated> (opt. substd.) / heterocycle <containing 5-10  
atoms, 1-4 heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms), non-aromatic>  
(opt. substd.)

G6 = OH / alkoxy <containing 1-3 C> / F / Cl / Br / I /  
CN / NO2 / SH / alkylthio <containing 1-3 C> / NH2 /  
alkylamino <containing 1-3 C> /  
diarylamino <each aryl containing 1-3 C> / CO2H /  
alkoxycarbonyl <containing 1-3 C>

Patent location: claim 35

AN 144:64366 MARPAT Full-text

ANPL 2005:1332127

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L3      STR
L7      3 SEA FILE=REGISTRY SSS FUL L3
L8      QUE ABB=ON  PLU=ON  AMIRI, P?/AU
L9      QUE ABB=ON  PLU=ON  FANTL, W?/AU
L10     QUE ABB=ON  PLU=ON  LEVINE, B?/AU
L11     QUE ABB=ON  PLU=ON  POON, D?/AU
L12     QUE ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13     QUE ABB=ON  PLU=ON  RENHOWE, P?/AU
L14     QUE ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15     QUE ABB=ON  PLU=ON  SUNG, L?/AU
L16     QUE ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L17     2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L18     2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR
L19     L11 OR L12 OR L13 OR L14 OR L15 OR L16)
L19     1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L1 AND L18
L20     2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L18 OR L19)
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=> d his 123
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SEP 2008)
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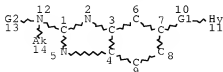
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L23     6 S L22 AND L8-L16
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=> d que nos 123
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L3      STR
L7      3 SEA FILE=REGISTRY SSS FUL L3
L8      QUE ABB=ON  PLU=ON  AMIRI, P?/AU
L9      QUE ABB=ON  PLU=ON  FANTL, W?/AU
L10     QUE ABB=ON  PLU=ON  LEVINE, B?/AU
L11     QUE ABB=ON  PLU=ON  POON, D?/AU
L12     QUE ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13     QUE ABB=ON  PLU=ON  RENHOWE, P?/AU
L14     QUE ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15     QUE ABB=ON  PLU=ON  SUNG, L?/AU
L16     QUE ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L22     6 SEA L7
L23     6 SEA L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR
L23     L15 OR L16)
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=> d que 134
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L2      2 SEA FILE=WPIX ABB=ON  PLU=ON  US2003-675927/APPS
L3      STR
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VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

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L8      QUE ABB=ON PLU=ON AMIRI, P?/AU
L9      QUE ABB=ON PLU=ON FANTL, W?/AU
L10     QUE ABB=ON PLU=ON LEVINE, B?/AU
L11     QUE ABB=ON PLU=ON POON, D?/AU
L12     QUE ABB=ON PLU=ON RAMURTHY, S?/AU
L13     QUE ABB=ON PLU=ON RENHOWE, P?/AU
L14     QUE ABB=ON PLU=ON SUBRAMANIAN, S?/AU
L15     QUE ABB=ON PLU=ON SUNG, L?/AU
L16     QUE ABB=ON PLU=ON (NOVARTIS OR CHIRON)/CS,SO,PA
L30      8 SEA FILE=WPIX SSS FUL L3
L31      2 SEA FILE=WPIX ABB=ON PLU=ON (RAFREO/DCN OR RARYLB/DCN OR
RARYLC/DCN OR RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR
RARYLH/DCN OR RARYLI/DCN) OR L30/DCR
L32      2 SEA FILE=WPIX ABB=ON PLU=ON L31 AND (L8 OR L9 OR L10 OR L11
OR L12 OR L13 OR L14 OR L15 OR L16)
L33      0 SEA FILE=WPIX ABB=ON PLU=ON L2 AND L32
L34      4 SEA FILE=WPIX ABB=ON PLU=ON L2 OR L32 OR L33

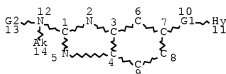
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=> d que 147

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L8      QUE ABB=ON PLU=ON AMIRI, P?/AU
L9      QUE ABB=ON PLU=ON FANTL, W?/AU
L10     QUE ABB=ON PLU=ON LEVINE, B?/AU
L11     QUE ABB=ON PLU=ON POON, D?/AU
L12     QUE ABB=ON PLU=ON RAMURTHY, S?/AU
L13     QUE ABB=ON PLU=ON RENHOWE, P?/AU
L14     QUE ABB=ON PLU=ON SUBRAMANIAN, S?/AU
L15     QUE ABB=ON PLU=ON SUNG, L?/AU
L16     QUE ABB=ON PLU=ON (NOVARTIS OR CHIRON)/CS,SO,PA
L36      STR

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Ak @15 Cy @16

VAR G1=O/S

VAR G2=15/16

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS ANY AT 1 2 3 4 5 6 7 8 9 11 14 15 16

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

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L39      QUE ABB=ON PLU=ON AY<2005 OR PY<2005 OR PRY<2005 OR MY

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<2005 OR REVIEW/DT
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L41      3625 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40 AND L39
L42      359  SEA FILE=HCAPLUS ABB=ON  PLU=ON  L41 AND (?BENZAZOL? OR
          ?BENZIMIDAZOL? OR (?BENZ(1T)(AZOL? OR IMIDAZOL?)))
L43      QUE ABB=ON  PLU=ON  A61P0035/IPC
L44      131 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42 AND L43
L45      56  SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 AND ?KINAS?
L46      9   SEA FILE=HCAPLUS ABB=ON  PLU=ON  L45 AND (RAF OR RAS OR
          RETROVIR? OR (RETRO(1W)VIR?))
L47      1   SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46 AND (L8 OR L9 OR L10 OR
          L11 OR L12 OR L13 OR L14 OR L15 OR L16)

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=> dup rem L20 L23 L34 L47

FILE 'HCAPLUS' ENTERED AT 10:21:10 ON 23 SEP 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'USPAT2' ENTERED AT 10:21:10 ON 23 SEP 2008

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FILE 'TOXCENTER' ENTERED AT 10:21:10 ON 23 SEP 2008

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FILE 'WPIX' ENTERED AT 10:21:10 ON 23 SEP 2008

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PROCESSING COMPLETED FOR L20

PROCESSING COMPLETED FOR L23

PROCESSING COMPLETED FOR L34

PROCESSING COMPLETED FOR L47

L52 7 DUP REM L20 L23 L34 L47 (6 DUPLICATES REMOVED)

ANSWERS '1-2' FROM FILE HCAPLUS

ANSWERS '3-4' FROM FILE USPATFULL

ANSWERS '5-7' FROM FILE WPIX

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 10:21:39 ON 23 SEP 2008

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 19, 2008 (20080919/UP).



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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y

L52 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:513393 HCAPLUS Full-text

DOCUMENT NUMBER: 141:71544

TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors

INVENTOR(S): Amira, Payman; Fantl, Wendy;  
Levine, Barry Haskell; Foon, Daniel J.  
; Ramurthy, Savithri; Penhows, Paul  
A.; Subramanian, Sharadha; Sung,  
Leonard

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S.  
Pat. Appl. 2004 87,626.

CODEN: USXXCO

DOCUMENT TYPE: Patent

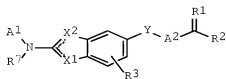
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

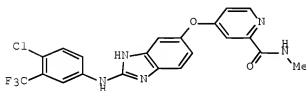
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122237	A1	20040624	US 2003-675927	20030929 <--
US 20040087626	A1	20040506	US 2003-405945	20030331
US 7071216	B2	20060704		
AU 2004277405	A1	20050414	AU 2004-277405	20040929 <--
CA 2539748	A1	20050414	CA 2004-2539748	20040929 <--
WO 2005032548	A1	20050414	WO 2004-US32161	20040929 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1675584	A1	20060705	EP 2004-789345	20040929 <--
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BR 2004014908	A	20061107	BR 2004-14908	20040929 <--
CN 1913884	A	20070214	CN 2004-80032677	20040929 <--
JP 2007507428	T	20070329	JP 2006-528331	20040929 <--
US 20070299039	A1	20071227	US 2005-282939	20051118
MX 2006PA03435	A	20060620	MX 2006-PA3435	20060327 <--
JP 2006193533	A	20060727	JP 2006-96143	20060330
IN 2006KN00838	A	20070413	IN 2006-KN838	20060405 <--
PRIORITY APPLN. INFO.:				
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			US 2003-405945	A2 20030331
			JP 2003-579810	A3 20030331
			US 2003-675927	A 20030929 <--
			WO 2004-US32161	W 20040929

OTHER SOURCE(S): MARPAT 141:71544  
 ED Entered STN: 25 Jun 2004  
 GI



I



II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

IC ICM C07D277-82  
 ICS C07D263-60

INCL 548161000; 548217000

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63

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	611215-06-6P	611215-07-7P	611215-08-8P	611215-09-9P	
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611217-47-1P	611217-48-2P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

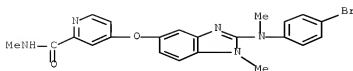
IT 611215-02-2P 611215-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

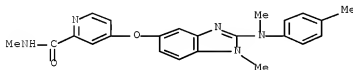
RN 611215-02-2 HCAPLUS

CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 HCAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



L52 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2003:796477 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:307759

TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors

INVENTOR(S): Renhowe, Paul A.; Ramurthy, Savithri  
; Amiri, Payman; Levine, Barry  
Hackell, Poan, Daniel J.  
Subramanian, Sharadha; Sung, Leonard  
; Faceli, WendyPATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082272	A1	20031009	WO 2003-US10117	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480638	A1	20031009	CA 2003-2480638	20030331
AU 2003226211	A1	20031013	AU 2003-226211	20030331
AU 2003226211	B2	20080529		
EP 1499311	A1	20050126	EP 2003-745683	20030331

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003008854	A	20050222	BR 2003-8854	20030331
CN 1655779	A	20050817	CN 2003-812193	20030331
JP 2005529089	T	20050929	JP 2003-579810	20030331
NZ 535985	A	20070427	NZ 2003-535985	20030331
IN 2004KN01433	A	20051230	IN 2004-KN1433	20040927
MX 2004PA09541	A	20050125	MX 2004-PA9541	20040929
NO 2004004617	A	20041228	NO 2004-4617	20041026
ZA 2004008386	A	20060531	ZA 2004-8386	20060308
JP 2006193533	A	20060727	JP 2006-96143	20060330

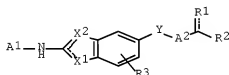
PRIORITY APPLN. INFO.:

US 2002-369066P	P	20020329
JP 2003-579810	A3	20030331
WO 2003-US10117	W	20030331

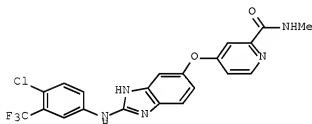
OTHER SOURCE(S): MARPAT 139:307759

ED Entered STN: 10 Oct 2003

GI



I



II

AB The title compds. [I; X1, X2 = N, NR4, O, S (with the provisos); Y = O, S; A1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; A2 = (un)substituted heteroaryl; R1 = O, H, and R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted alkyl, alkoxyalkyl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl], useful for inhibition of Raf kinase activity in a human or animal subject, were prepared. E.g., a 3-step synthesis of the benzimidazole II (starting from 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide), was given. The compds. of examples 1-1094 showed a Raf kinase inhibitory activity at an IC50 of less than 5  $\mu$ M. A composition comprising the compound I is claimed. The new compds. compns. may be used either alone or in combination with at least one addnl. agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IC ICM A61K031-41

ICS C07D401-12; C07D405-14; C07D409-14; C07D401-14; C07D417-12;  
C07D417-14; C07D413-14; C07D407-14; C07D413-12; C07D417-08;  
A61P035-00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 611214-97-2P 611214-98-3P 611214-99-4P 611215-00-0P 611215-01-1P

<del>611215-02-2P</del>	611215-03-3P	611215-04-4P	611215-05-5P
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611215-20-4P	611215-21-5P	611215-22-6P	611215-23-7P
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611217-31-3P	611217-32-4P	611217-34-6P	611217-36-8P
611217-39-1P	611217-41-5P	611217-43-7P	611217-44-8P
611217-47-1P	611217-48-2P		611217-46-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted benzazoles as Raf kinase inhibitors)

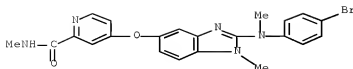
IT ~~611215-02-2P~~ 611215-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted benzazoles as Raf kinase inhibitors)

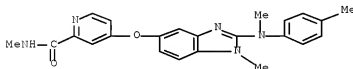
RN 611215-02-2 HCAPLUS

CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 HCAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y

L52 ANSWER 3 OF 7 USPATFULL on STN

DUPLICATE 2

ACCESSION NUMBER:

2004:114780 USPATFULL Full-text

TITLE:

Substituted benz-azoles and methods of their use as inhibitors of Raf kinase

INVENTOR(S):

Renhowe, Paul A., Danville, CA, UNITED STATES  
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES

STATES

Amici, Payman, Lafayette, CA, UNITED STATES  
Levine, Barry Haskell, Lafayette, CA, UNITED STATES

STATES

Poon, Daniel J., Oakland, CA, UNITED STATES  
Subramanian, Skaradha, San Ramon, CA, UNITED STATES

STATES

Sung, Leonard, Irvine, CA, UNITED STATES  
Fanti, Wendy, San Francisco, CA, UNITED STATES

STATES

PATENT INFORMATION:

NUMBER	KIND	DATE
US 20040087626	A1	20040506
US 7071216	B2	20060704
US 2003-405945	A1	20030331 (10)

APPLICATION INFO.:

NUMBER	DATE
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PRIORITY INFORMATION: US 2002-369066P 20020329 (60)  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: CHIRON CORPORATION, Intellectual Property-R440, P.O.  
 Box 8097, Emeryville, CA, 94662-8097  
 NUMBER OF CLAIMS: 86  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 7855  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

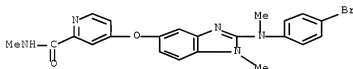
AB New substituted benz-azole compounds, compositions and methods of inhibition of Raf kinase activity in a human or animal subject are provided. The new compounds compositions may be used either alone or in combination with at least one additional agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IT 611215-02-2F 611215-10-2P

(preparation of substituted benzazoles as Raf kinase inhibitors)

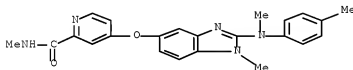
RN 611215-02-2 USPATFULL

CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 USPATFULL

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



L52 ANSWER 4 OF 7 USPATFULL on STN

ACCESSION NUMBER: 2007:342041 USPATFULL Full-text

TITLE: Substituted benz-azoles and methods of their use as inhibitors of Raf kinase

INVENTOR(S): Amari, Payman, Walnut Creek, CA, UNITED STATES

Fanti, Wendy, San Francisco, CA, UNITED STATES

Hansen, Teresa, Danville, CA, UNITED STATES

Levine, Barry Haskeli, Lafayette, CA, UNITED STATES

McBride, Christopher, Oakland, CA, UNITED STATES

Poon, Daniel J., Oakland, CA, UNITED STATES

Ramurthy, Savitri, Walnut Creek, CA, UNITED STATES



## STATES

Penhows, Paul E., Danville, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Subramanian, Sharadha, San Ramon, CA, UNITED STATES

## STATES

Song, Leonard, Irvine, CA, UNITED STATES  
Chiron Corporation, Emeryville, CA, UNITED STATES (U.S. corporation)

## PATENT ASSIGNEE(S):

NUMBER	KIND	DATE
--------	------	------

PATENT INFORMATION:	US 20070299039	A1	20071227
APPLICATION INFO.:	US 2005-282939	A1	20051118 (11)
RELATED APPLN. INFO.:	Division of Ser. No. US 2003-405945, filed on 31 Mar 2003, GRANTED, Pat. No. US 7071216		

NUMBER	DATE
--------	------

PRIORITY INFORMATION:	US 2002-369066P	20020329 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	CHIRON CORPORATION, INTELLECTUAL PROPERTY - R440, PO BOX 8097, EMERYVILLE, CA, 94662-8097, US	
NUMBER OF CLAIMS:	52	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7472	

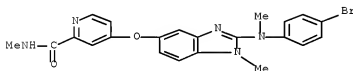
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB New substituted benz-azole compounds, compositions and methods of inhibition of Raf kinase activity in a human or animal subject are provided. The new compounds compositions may be used either alone or in combination with at least one additional agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IT 611215-02-2P 611215-10-2P  
 (preparation of substituted benzazoles as Raf kinase inhibitors)

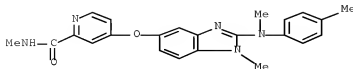
RN 611215-02-2 USPATFULL

CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 USPATFULL

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y

L52 ANSWER 5 OF 7 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 2008-A94333 [06] WPIX  
 CROSS REFERENCE: 2007-342166  
 DOC. NO. CPI: C2008-026526 [06]  
 TITLE: New substituted benzimidazole compounds useful for  
 treating cancer disorder e.g. melanoma, breast cancer or  
 prostate cancer  
 B05  
 DERWENT CLASS:  
 INVENTOR: ALKAWA M E; AMIRI P; DOVE J H; LEVINE B  
 H; MCBRIDE C; PICK T E; POON D J;  
 RAMUPHY S; PENHOWE P A; SHAFER C;  
 STUART D; SUBRAMANTAN S  
 PATENT ASSIGNEE: (NOVS-C) NOVARTIS AG  
 COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 20070161680	A1	20070712	(200806)*	EN	81	[15]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 20070161680	A1	Provisional	US 2005-712539P 20050830
US 20070161680	A1	Provisional	US 2005-713108P 20050830
US 20070161680	A1	Provisional	US 2005-731591P 20051027
US 20070161680	A1	Provisional	US 2006-774684P 20060217
US 20070161680	A1		US 2006-513959 20060830

PRIORITY APPLN. INFO: US 2006-513959 20060830  
 US 2005-712539P 20050830  
 US 2005-713108P 20050830  
 US 2005-731591P 20051027  
 US 2006-774684P 20060217

INT. PATENT CLASSIF.:

IPC ORIGINAL: A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; C07D0403-00  
 [I,C]; C07D0403-14 [I,A]

USCLASS NCLM: 514/338.000

NCLS: 546/273.400

BASIC ABSTRACT:

US 20070161680 A1 UPAB: 20080123

NOVELTY - Substituted benzimidazole compounds, or their salts,  
 tautomer, stereoisomer, polymorph, ester, metabolite, or prodrug are new.

DETAILED DESCRIPTION - Substituted benzimidazole compounds of formula  
 (I), or their salts, tautomer, stereoisomer, polymorph, ester, metabolite, or  
 prodrug are new.

R1=OH, halo, 1-6C alkyl, 1-6C alkoxy, 1-6C alkylsulfanyl, 1-6C alkylsulfonfyl, cycloalkyl, heterocycloalkyl, phenyl, or heteroaryl (optionally substituted by at least one T1);

T1=OH, halo, 1-6C alkyl, halo-1-6C alkyl, 1-6C alkoxy, or halo-1-6C alkoxy;

R2=1-6C alkyl or halo(1-6C alkyl)(optionally substituted by at least one T1);

R3=halo, 1-6C alkyl, or 1-6C alkoxy (optionally substituted by at least one T1);

R4=OH, 1-6C alkyl, 1-6C alkoxy, halo, carboxyl, 1-6C alkoxycarbonyl, aminocarbonyl, 1-6C alkylaminocarbonyl, carbonitrile, cycloalkyl, heterocycloalkyl, heterocycloalkylcarbonyl, phenyl, or heteroaryl (optionally substituted by at least one T1);

a=1-5;

b=0-3; and

c=1 or 2.

An INDEPENDENT CLAIM is included for treating cancer involving administering (I).

ACTIVITY - Cytostatic; Antiangiogenic.

The anti-proliferative effect of (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzimidazol-2-yl)-(4-trifluoromethyl-phenyl)-amine (IA) was tested against melanoma cell lines WM1799 by using soft agar proliferation assay. (IA) Showed an EC50 value of less than 0.0098  $\mu$ M.

MECHANISM OF ACTION - Receptor tyrosine kinase (e.g. VEGFR-2 (vascular endothelial growth factor receptor), FGFR-3 (fibroblast growth factor receptor kinase), c-Kit, PDGFR-beta (platelet derived growth factor receptor kinase) and CSF-IR (receptor for colony stimulating factor-1) inhibitor; Serine/threonine kinase (e.g. Raf serine/threonine kinase, B-raf kinase, C-raf kinase, mutant B-Raf kinase) inhibitor; Mitogen activated protein kinase signaling pathway inhibitor.

The Raf kinase signaling inhibitory effect of (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzimidazol-2-yl)-(4-trifluoromethyl-phenyl)-amine (IA) on wild-type c-Raf was determined using the following biotinylated assay. The kinase activity of the various isoforms of Raf serine/threonine kinases were measured by providing adenosine triphosphate (ATP), a recombinant kinase inactive MEK (mitogen activated protein kinases kinase) substrate and assaying the transfer of phosphate moiety to the MEK residue. For the assay, the compound (IA) was serially diluted in DMSO (dimethylsulfoxide) and then mixed c-Raf (0.50 nM). The kinase inactive biotin-MEK substrate (50 nM) was added in reaction buffer plus ATP (1  $\mu$ M). The reaction buffer contained 30 mM Tris-HCl (hydrogen chloride) pH 7.5, 10 mM MgCl2 (magnesium chloride), 2 mM DTT (dithiothreitol), 4 mM EDTA (ethylene diamine tetraacetic acid), 25 mM beta-glycerophosphate, 5 mM MnCl2 (manganese chloride), and 0.01% BSA (bovine serum albumin)/PBS (phosphate buffer saline). Reactions were subsequently incubated for 2 hours at room temperature and stopped by the addition of 0.5 M EDTA. Stopped reaction mixture was transferred to a neutravidin-coated plate (Pierce) and incubated for 1 hour. The concentration of (IA) of for 50% inhibition was calculated. (IA) Showed an IC50 value of 0.004  $\mu$ M.

USE - For treating a cancer disorder e.g. melanoma, breast cancer or prostate cancer, in a human or animal subject; for inhibiting at least one serine/threonine kinase in the MAPK signaling pathway in a subject, or treating a biological condition (e.g. melanoma, papillary thyroid cancer, ovarian cancer, colon cancer, pancreatic cancer, lung cancer, and leukemia) mediated by a serine/threonine kinase in the MAPK (mitogen activated protein kinase) signaling pathway; for inhibiting a receptor tyrosine kinase in a subject or treating a biological condition (e.g. mast cell leukemia, erythroleukemia, germ cell tumors, small-cell lung carcinoma, gastrointestinal stromal tumors, acute myelogenous leukemia, neuroblastoma, melanoma, multiple myeloma, ovarian carcinoma, and breast carcinoma) mediated by the receptor

tyrosine kinase in a subject (claimed); for treating Raf related disorders e.g. tumor growth; for inhibiting angiogenesis; for inhibiting kinase activity associated with tumorigenesis in a human or in animal.

**ADVANTAGE** - The compounds effectively inhibits the activity of serine/threonine kinase or receptor tyrosine kinase (e.g. VEGFR-2 (vascular endothelial growth factor receptor), FGFR-3 (fibroblast growth factor receptor kinase), c-Kit, PDGFR-beta (platelet derived growth factor receptor kinase) and CSF-IR (receptor for colony stimulating factor-1). The compound is a potent inhibitor of B-Raf, c-Raf, mutant B-Raf and mutant Ras in biochemical assays. It has a potent anti-proliferative effect; and is a potent inhibitor of mitogen activated protein kinase signaling pathway. It effectively treats solid tumor by inhibiting angiogenesis.

**MANUAL CODE:**

CPI: B04-A07A; B04-B03D; B04-G01A; B04-G01C; B04-G05; B04-G21; B05-A03B3; B05-B01J; B05-C03; B05-C07; B06-A03A; B06-D05; B06-D09; B06-E05; B07-D04C; B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01; B14-H01A; B14-L06

**TECH**

**ORGANIC CHEMISTRY** - Preparation (disclosed): 3 Methods for the preparation of (I) are given e.g. preparation of (I) involves:

(i) reacting diamine compound of formula (II) with isothiocyanato-benzene (substituted by (R1)a) to give thiourea of formula (III); and  
(ii) reacting thiourea with a desulfurizing agent e.g. FeCl<sub>3</sub> (ferric chloride), 2-chloro-1-methylpyridinium iodide, 2-chloro-1,3-dimethylimidazolium chloride, POCl<sub>3</sub> (phosphorus oxychloride) or alkyl halide such as methyl iodide to give (I).

**PHARMACEUTICALS** - Preferred Method: The method further involves administering at least one additional agent (preferably irinotecan, topotecan, gemcitabine, 5-fluorouracil, leucovorin carboplatin, cisplatin, taxanes, tezacitabine, cyclophosphamide, vinca alkaloids, imatinib, anthracyclines, rituximab, or trastuzumab) for the treatment of cancer.

**ABEX DEFINITIONS** - Preferred Definitions: - R1=trifluoromethyl; - R2=methyl; - a=1; - b=0 or 1; - R3=methoxy or absent; - R4=trifluoromethyl; and - c=1.

**ADMINISTRATION** - The compounds (I) are administered at a dosage of 0.001-1000 mg/kg/day, orally, parenterally (including subcutaneously, intravenously, intramuscularly, intrasternally, or infusion), sublingually, by aerosolization or inhalation spray, rectally, or topically (e.g. transdermally).

**SPECIFIC COMPOUNDS** - 62 Compounds are specifically claimed as (I) e.g. (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-(4-trifluoromethylphenyl)-amine (IA); (2-fluoro-5-pyridin-3-yl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (2-fluoro-5-pyridin-4-yl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (3-ethyl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (3-tert-butyl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine.

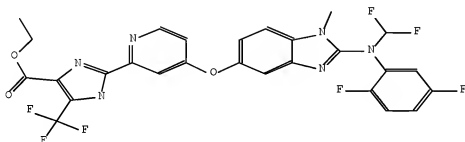
**EXAMPLE** - 4-Trifluoromethylphenyl isothiocyanate (23.37 g) was added to a stirring solution of Nasterisklasterisk-methyl-4-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-benzene-1,2-diamine (40.17 g) in methanol (460 ml) at room temperature for 16 hours. After the reaction was judged complete, a solution of FeCl<sub>3</sub> (ferric chloride) (20.52 g) in MeOH (methanol) (50 mL) was added to the reaction and the resulting mixture was stirred at room temperature overnight. The crude reaction mixture was added to EtOAc (ethyl acetate) (750 mL) and water (750 mL). After work-up, (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-(4-trifluoromethylphenyl)-amine (melting point 217-220degreesC) was obtained as a pure, white solid.

AN.S DCR-1552297

10/675,927

CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester

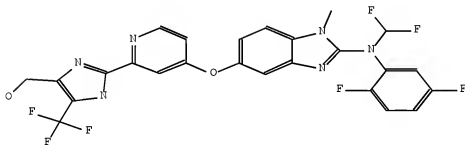
SDCN RARYLB



AN.S DCR-1552298

CN.S [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazol-4-yl]-methanol; [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazol-4-yl]-methanol

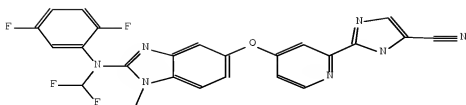
SDCN RARYLC



AN.S DCR-1552299

CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carbonitrile; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carbonitrile

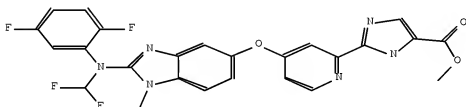
SDCN RARYLD



AN.S DCR-1552301

CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid methyl ester; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid methyl ester

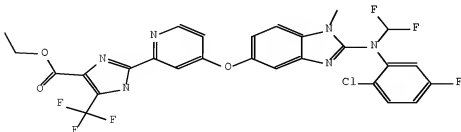
SDCN RARYLF



AN.S DCR-1552302

CN.S 2-(4-{2-[(2-Chloro-5-fluoro-phenyl)-difluoromethyl-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester; 2-(4-{2-[(2-Chloro-5-fluoro-phenyl)-difluoromethyl-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester

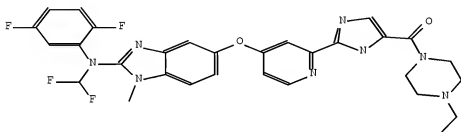
SDCN RARYLG



AN.S DCR-1552303

CN.S [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazol-4-yl]-(4-ethyl-piperazin-1-yl)-methanone; [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazol-4-yl]-(4-ethyl-piperazin-1-yl)-methanone

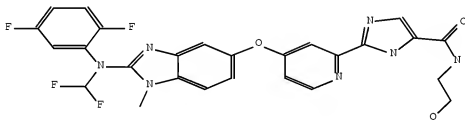
SDCN RARYLH



AN.S DCR-1552304

CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid (2-hydroxy-ethyl)-amide; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid (2-hydroxy-ethyl)-amide

SDCN RARYLI



L52 ANSWER 6 OF 7 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2005-296040 [30] WPIX

CROSS REFERENCE: 2003-833520

DOC. NO. CPI: C2005-091531 [30]

TITLE: New substituted benzazole compounds are Raf kinase inhibitors useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer B02

DERWENT CLASS:

INVENTOR: AMIRI P; FANTL W; LEVINE B H; POON D J; RAMURTHY S; RENHOWE P A; SUBRAMANIAN S; SUNG L; AMIRI P C C; FANTL W C C; LEVINE B H C C; POON D J C C; RAMURTHY S C C; RENHOWE P A C C; SUBRAMANIAN S C C; SUNG L C C

PATENT ASSIGNEE: (CHIR-C) CHIRON CORP  
COUNTRY COUNT: 107

## PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005032548	A1	20050414	(200530)*	EN	326	[0]
EP 1675584	A1	20060705	(200644)	EN		
BR 2004014908	A	20061107	(200674)	PT		
AU 2004277405	A1	20050414	(200677)	EN		
MX 2006003435	A1	20060701	(200677)	ES		
KR 2006089232	A	20060808	(200705)	KO		
JP 2007507428	W	20070329	(200725)	JA	308	
IN 2006KN00838	P2	20070413	(200735)	EN		
CN 1913884	A	20070214	(200746)	ZH		
ZA 2006003418	A	20070725	(200758)	EN	329	

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005032548 A1		WO 2004-US32161	20040929
AU 2004277405 A1		AU 2004-277405	20040929
BR 2004014908 A		BR 2004-14908	20040929
CN 1913884 A		CN 2004-80032677	20040929
EP 1675584 A1		EP 2004-789345	20040929
EP 1675584 A1		WO 2004-US32161	20040929
BR 2004014908 A		WO 2004-US32161	20040929
MX 2006003435 A1		WO 2004-US32161	20040929
KR 2006089232 A		WO 2004-US32161	20040929
JP 2007507428 W		WO 2004-US32161	20040929
IN 2006KN00838 P2		WO 2004-US32161	20040929
JP 2007507428 W		JP 2006-528331	20040929
MX 2006003435 A1		MX 2006-3435	20060327
KR 2006089232 A		KR 2006-706470	20060403
IN 2006KN00838 P2		IN 2006-KN838	20060405
ZA 2006003418 A		ZA 2006-3418	20060428

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1675584	A1 Based on	WO 2005032548 A
BR 2004014908	A Based on	WO 2005032548 A
AU 2004277405	A1 Based on	WO 2005032548 A
MX 2006003435	A1 Based on	WO 2005032548 A
KR 2006089232	A Based on	WO 2005032548 A
JP 2007507428	W Based on	WO 2005032548 A

PRIORITY APPLN. INFO: US 2003-675927 20030929

## INT. PATENT CLASSIF.:

MAIN: A61K031-41; C07D401-12; A61K  
SECONDARY: A61P; A61P035-00; C07D; C07D401-14; C07D405-14;  
C07D407-14; C07D409-14; C07D413-12; C07D413-14;  
C07D417-12; C07D417-14; C07D471-08  
IPC ORIGINAL: A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C];  
A61K0031-41 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439  
[I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C];  
A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709



[I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A];  
 A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506  
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 A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]  
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 [I,A]; C07D0417-08 [I,A]

IPC RECLASSIF.: A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C];  
 C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C];  
 C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C];  
 C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A];  
 C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A];  
 C07D0453-00 [I,C]; C07D0453-02 [I,A]

ECLA: C07D0401-12+235C+213; C07D0401-14+235C+213+207;  
 C07D0401-14+235C+213+209C; C07D0401-14+235C+217+213;  
 C07D0401-14+235C+231+213; C07D0401-14+235C+233+213;  
 C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213;  
 C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213;  
 C07D0413-14+261+235C+213; C07D0413-14+263B+235C+213;  
 C07D0417-12+277+213; C07D0453-02

## BASIC ABSTRACT:

WO 2005032548 A1 UPAB: 20051222

NOVELTY - Substituted benzazole compounds (I) and their salts, esters or prodrugs are new.

DETAILED DESCRIPTION - Substituted benzazole compounds of formula (I) and their salts, esters or prodrugs are new.

X1, X2 = N, NR4, O or S (provided that if X1 is -NR4-, O or S, then X2 is -NR4, O or S then X2 is N, and both X1 and X2 are not N);

Y = O or S;

A1 = optionally substituted alkyl, (hetero)cycloalkyl, polycyclic aryl, polycyclic arylalkyl, (hetero)aryl, biaryl, heteroarylalkyl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylalkyl;

A2 = optionally substituted heteroaryl; either

R1 = O or H; and

R2 = NR5R6 or OH; or

R1+R2 = optionally substituted with heterocycloalkyl or heteroaryl; dashed line = single or double bond;

R3 = H, halo, lower alkyl or lower alkoxy;

R4 = H, OH, (di)alkylamino or alkyl; either

R5, R6 = H or optionally substituted alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, (hetero)cycloalkyl, (hetero)aryl, alkoxyalkylheterocyclo or heteroarylalkyl; or

NR5R6 = optionally substituted heterocyclo or heteroaryl; and

R7 = H or lower alkyl.

An INDEPENDENT CLAIM is also included for the composition comprising (I).

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Raf serine/threonine kinase inhibitor. (I) were tested for Raf serine/threonine kinase inhibitory activity in biotinylated Raf screen assay. The median inhibitory concentration of 4-((2-((4-chloro-3-trifluoromethylphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia) was less than 5 microM.

USE - (I) are useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer (claimed).

ADVANTAGE - (I) has great efficacy in inhibiting tumor cell proliferation.  
MANUAL CODE:

CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21;  
B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-D04C;  
B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01D1; B14-H01F4

TECH

ORGANIC CHEMISTRY - Preparation: No general methods of preparation given. Preferred Composition: The composition of (I) further comprises at least one additional agent (e.g. irinotecan, topotecan, gemcitabine, 5-fluorouracil, leucovorin carboplatin, cisplatin, taxanes, tezacitabine, cyclophosphamide, vinca alkaloids, imatinib, anthracyclines, rituximab or trastuzumab) for the treatment of cancer.

ABEX DEFINITIONS - Preferred Definitions: - R4 = H or CH3; - R5 = H; - R3 = OCH3; - R6 = CH3; - R1 = O; - R2 = NR5R6; - R7 = H; - Y = O; and - A1 = optionally substituted phenyl, pyridyl, pyrimidinyl, phenylalkyl, pyridylalkyl, pyrimidinylalkyl, heterocyclocarbonylphenyl, heterocyclophenyl, pyrrolidin-4-ylpyridinyl, 4-diazepan-1-yl, hydroxypyrrolidin-1-yl, dialkylaminopyrrolidin-1-yl, 1,4-bipiperidin-1'-yl or (1,4'-bipiperidin-1'-ylcarbonyl)phenyl.

ADMINISTRATION - Administration of (I) is 0.001-1000 (preferably 1-30) mg/kg/day, orally, parenterally, sublingually, rectally, topically, by aerosolization or inhalation spray,.

SPECIFIC COMPOUNDS - 1401 Compounds (I) are disclosed e.g. 4-((2-((4-chloro-3-(trifluoromethylphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia).

EXAMPLE - A mixture containing 4-((3,4-diaminophenyl)oxy)-N-methylpyridine-2-carboxamide (1 equivalent) and 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate (1 equivalent) in tetrahydrofuran was stirred at room temperature for 16 hours to give the corresponding thiourea. To the resulting mixture was added 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (2 equivalent) and the mixture was stirred for another 10 hours. The mixture was concentrated and partitioned between ethyl acetate and water. The mixture was worked up to give 4-((2-((4-chloro-3-(trifluoromethylphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia).

L52 ANSWER 7 OF 7 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2004-747862 [73] WPIX

DOC. NO. CPI: C2004-262778 [73]

TITLE: Composition, useful to treat asthma, cancer, infectious disease and/or allergies, comprises a small molecule immune-potentiator compound e.g. acylpiperazine, an indoleione, a tetrahydroisoquinoline and a benzocyclodione derivative

DERWENT CLASS: B05

INVENTOR: VALIANTE N; VALIANTE N M

PATENT ASSIGNEE: (CHIR-C) CHIRON CORP

COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2004087153	A2	20041014	(200473)*	EN	146[0]	
US 20050136065	A1	20050623	(200542)	EN		
EP 1608369	A2	20051228	(200603)	EN		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004087153	A2	WO 2004-US10331	20040329
US 20050136065	A1 Provisional	US 2003-458888P	20030328
US 20050136065	A1	US 2004-814480	20040329
EP 1608369	A2	EP 2004-758593	20040329
EP 1608369	A2	WO 2004-US10331	20040329

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1608369	A2	Based on
		WO 2004087153 A

PRIORITY APPLN. INFO: US 2003-458888P 20030328  
 US 2004-814480 20040329

## INT. PATENT CLASSIF.:

MAIN: A61K031-4439  
 IPC RECLASSIF.: A61K0031-12 [I,A]; A61K0031-12 [I,C]; A61K0031-122 [I,A];  
 A61K0031-122 [I,C]; A61K0031-17 [I,C]; A61K0031-175 [I,A];  
 A61K0031-18 [I,A]; A61K0031-18 [I,C]; A61K0031-343  
 [I,A]; A61K0031-343 [I,C]; A61K0031-352 [I,A];  
 A61K0031-352 [I,C]; A61K0031-381 [I,A]; A61K0031-381  
 [I,C]; A61K0031-40 [I,A]; A61K0031-40 [I,C];  
 A61K0031-4015 [I,A]; A61K0031-4015 [I,C]; A61K0031-403  
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 A61K0031-404 [I,A]; A61K0031-42 [I,A]; A61K0031-42 [I,C];  
 A61K0031-421 [I,A]; A61K0031-421 [I,C]; A61K0031-425  
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 A61K0031-428 [I,C]; A61K0031-433 [I,A]; A61K0031-433  
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 A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4453  
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 A61K0031-519 [I,A]; A61K0031-519 [I,C]; A61K0031-53 [I,A];  
 A61K0031-53 [I,C]; A61K0031-58 [I,C]; A61K0031-585  
 [I,A]; A61K0031-695 [I,A]; A61K0031-695 [I,C]

## ECLA:

A61K0031-12; A61K0031-122; A61K0031-175; A61K0031-18;  
 A61K0031-343; A61K0031-352; A61K0031-381; A61K0031-40;  
 A61K0031-4015; A61K0031-403; A61K0031-4035; A61K0031-404;  
 A61K0031-42; A61K0031-421; A61K0031-425; A61K0031-428;  
 A61K0031-433; A61K0031-437; A61K0031-4439; A61K0031-4453;  
 A61K0031-4709; A61K0031-472; A61K0031-495; A61K0031-496;  
 A61K0031-497; A61K0031-498; A61K0031-4985; A61K0031-517;  
 A61K0031-519; A61K0031-53; A61K0031-585; A61K0031-695  
 424/184.100

USCLASS NCLM:

NCLS: 514/063.000; 514/252.120; 514/252.160; 514/253.070;  
 514/259.300; 514/317.000; 514/338.000; 514/340.000;  
 514/362.000; 514/373.000; 514/376.000; 514/418.000;  
 514/423.000; 514/468.000; 514/582.000; 514/680.000

## BASIC ABSTRACT:

WO 2004087153 A2 UPAB: 20060122

NOVELTY - Composition (A) which elicits an immune response comprises a small molecule immune-potentiator (SMIP) compound X-Y-Z (I) or its salt, ester or prodrug.

DETAILED DESCRIPTION - Composition (A) which elicits an immune response comprises a small molecule immune-potentiator (SMIP) compound X-Y-Z of formula (I) or its salt, ester or prodrug.

X = optionally substituted alkyl, aryl, heteroaryl, fused arylaryl, fused heteroarylaryl, fused heteroarylheteroaryl, unfused arylaryl, unfused heteroarylaryl, unfused heteroarylheteroaryl or heterocyclaryl groups;

Y = a linking moiety; and

Z = optionally substituted aryl, heteroaryl, fused arylaryl, fused heteroarylaryl or fused arylheteroaryl.

An INDEPENDENT CLAIM is also included for a method of vaccinating a subject comprising administering (A) prior to, at the same time as or after administration of a vaccine composition comprising an antigen.

ACTIVITY - Immunostimulant; Antiasthmatic; Cytostatic; Antimicrobial; Antiallergic.

Tests details are described but no results given.

MECHANISM OF ACTION - None given.

USE - (A) is useful to stimulate an immune response (cellular production of one or more cytokines). (A) is useful for vaccination and to treat asthma (all claimed), cancer, infectious disease and/or allergies.

MANUAL CODE: CPI: B01-D02; B06-H; B07-H; B10-A08; B10-A13A; B10-F02;  
 B14-A01; B14-A02; B14-G01; B14-G02A; B14-H01; B14-R01A;  
 B14-S11

## TECH

PHARMACEUTICALS - Preferred Composition: (A) further comprises antigen (preferably antigen is associated with a disease such as BCG, cholera, plague, typhoid, hepatitis B infection, influenza, inactivated polio, rabies, measles, mumps, rubella, oral polio, yellow fever, tetanus, diphtheria, hemophilus influenzae b, meningococcus infection or pneumococcus infection). Preferred Components: (I) is an acylpiperazine, an indoleione, a tetrahydroisoquinoline, a benzocyclodione, an amino azavinyl compound, a thiosemicarbazone, a lactam, an aminobenzimidazole quinolinone, a hydrophthalamide, a benzophenone, an isoxazole, a sterol, a quinazolinone, a pyrrole, an anthraquinone, a quinoxaline, a triazine, a benzazole, or a pyrazolopyrimidine compounds, e.g. acylpiperazine of formula (III), indoleione of formula (IV), tetrahydroisoquinoline of formula (V) and benzocyclodione of formula (VI).

R9 = optionally substituted aryl, heteroaryl, arylalkyl, arylalkenyl, heteroarylalkyl or heteroarylalkenyl;

R10 = optionally substituted alkyl;

n = 0-2;

R11, R12 = H, NO2, halo, NH2, OH, CN, carboxycyclic acid or optionally substituted (alkyl, (hetero)aryl, alkoxy, alkylcarbonyl,

alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyl, arylalkoxy, heteroarylalkoxy, alkylamino, arylalkylamino, arylamino, heteroarylamino, heteroarylaminocarbonyl, heterocyclaryl, heterocyclarylalkoxy, heterocyclarylalkyl or carbocyclaryl);

R13 = optionally unsubstituted aryl, heteroaryl, arylalkyl, heteroaryl alkyl, heterocyclaryl, heterocyclarylalkyl or alkylbenzyl;

L = covalent bond or CH2, CO, O, S, CHF, NH or NR20;

R20 = lower alkyl;

R14 = H, halo or optionally substituted alkyl;  
 R15 = optionally substituted carbocyclyl, aryl, arylalkyl, alkoxyaryl, heteroaryl or heterocyclyl;  
 R16, R17 = H, halo or optionally substituted alkyl;  
 R18, R19 = H, OH, halo, alkoxy, NH2, optionally substituted alkyl or alkylamino;  
 E = NR25 or CR26R27;  
 R21, R23, R24 = H, OH, halo, alkoxy, amino, optionally substituted alkyl or alkylamino;  
 R22 = alkyl (optionally substituted), H, OH, halo, alkoxy, NH2, alkylamino, arylalkyl, heteroarylalkyl, (hetero)aryl, arylcarbonyl, heterocyclyl, heterocyclylalkyl or heteroarylcarbonyl;  
 R25 = optionally substituted aryl, heteroaryl, heterocyclyl, carbocyclyl, arylalkyl, heteroarylalkyl or heterocyclylalkyl;  
 R26 = H, halo, OH, NH2, alkyl (optionally substituted), carbonylalkyl or alkylcarbonylalkyl; and  
 R27 = aryl, arylalkyl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, carbocyclyl, arylcarbonylalkyl or arylalkylcarbonyl.  
 If D1 is carbon then D2 is oxygen, D3 is absent, and D4 is optionally substituted aryl, heteroaryl, carbocyclyl, alkoxyaryl, fused arylaryl, fused arylheteroaryl or fused heteroarylaryl; or if D1 is nitrogen then D2 is N, D4 is absent and D3 is optionally substituted aryl, heteroaryl, carbocyclyl, alkoxyaryl, fused arylaryl, fused arylheteroaryl or fused heteroarylaryl.

ABEX DEFINITIONS - Preferred Definitions: - Y = covalent bond or linking moiety such as -CO-, -O-, -S-, -CH2- or NH, provided that an aminocarbonyl group is not formed between the attachment of Y and X; - Z = phenyl substituted with R1-R5; and either - R1-R5 = H, halo, OH, NH2, NO2, CN, carboxylic acid or optionally substituted (alkyl, alkenyl, alkynyl, alkylamino, aminoalkyl, alkylcarbonyloxy, alkoxy, carbonyl, aminocarbonyl, alkylaminocarbonyl, carbonylamino, alkylcarbonylamino, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl, (hetero)aryl, fused arylaryl, unfused arylaryl fused heteroarylaryl, unfused heteroarylaryl, fused arylheteroaryl or unfused arylheteroaryl); or - R2+R3 = optionally substituted 5-7 membered ring of all carbon atoms or 1-2 heteroatoms of O, S or N.

ADMINISTRATION - Administration of (A) is enteral, oral, parenteral, sublingual, rectal, topical or by inhalation. No dosage given.

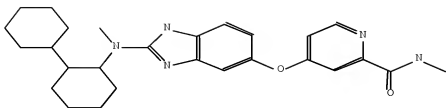
SPECIFIC COMPOUNDS - The use of 58 compounds (I) are specifically claimed e.g. N-methyl-4-((2-((2-(1-methylethyl)phenyl)amino)-1H-benzimidazol-5-yl)oxy)pyridine-2-carboxamide, N-methyl-4-((1-methyl-2-((3-((trimethylsilyl)ethynyl)phenyl)amino)-1H-benzimidazol-5-yl)oxy)pyridine-2-carboxamide, N-methyl-4-((1-methyl-2-((2-(phenylcarbonyl)phenyl)amino)-1H-benzimidazol-5-yl)oxy)pyridine-2-carboxamide, 4-(methyloxy)-N-(6-(methyloxy)-1,3-benzothiazol-2-yl)-3-nitrobenzamide and 4-((2-((4-butylphenyl)amino)-1,3-benzothiazol-5-yl)oxy)-N-methylpyridine-2-carboxamide.

AN.S DCR-975185

CN.S 4-[2-(Bicyclohexyl-2-yl-methyl-amino)-1H-benzimidazol-5-yloxy]-pyridine-2-carboxylic acid methylamide

SDCN RAFREO

10/675,927



=> file stnguide

FILE 'STNGUIDE' ENTERED AT 10:23:39 ON 23 SEP 2008

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 19, 2008 (20080919/UP).

=> d his ful

(FILE 'HOME' ENTERED AT 08:42:19 ON 23 SEP 2008)

FILE 'STNGUIDE' ENTERED AT 08:42:22 ON 23 SEP 2008

FILE 'ZCAPLUS' ENTERED AT 08:42:32 ON 23 SEP 2008  
E US2003-675927/APPS

L1 FILE 'HCAPLUS' ENTERED AT 08:42:48 ON 23 SEP 2008  
1 SEA ABB=ON PLU=ON US2003-675927/APPS  
D SCAN

L2 FILE 'WPIX' ENTERED AT 08:43:08 ON 23 SEP 2008  
2 SEA ABB=ON PLU=ON US2003-675927/APPS  
D SCAN

FILE 'STNGUIDE' ENTERED AT 08:43:38 ON 23 SEP 2008  
D QUE L1

FILE 'HCAPLUS' ENTERED AT 08:44:44 ON 23 SEP 2008  
D IBIB ED ABS IND L1

FILE 'STNGUIDE' ENTERED AT 08:44:46 ON 23 SEP 2008  
D QUE L2

FILE 'WPIX' ENTERED AT 08:45:56 ON 23 SEP 2008  
D IALL CODE L2 1-2

FILE 'STNGUIDE' ENTERED AT 08:45:59 ON 23 SEP 2008

L3 FILE 'LREGISTRY' ENTERED AT 08:51:05 ON 23 SEP 2008  
STR

L4 FILE 'REGISTRY' ENTERED AT 08:52:50 ON 23 SEP 2008  
0 SEA SSS SAM L3  
D QUE STAT

FILE 'REGISTRY' ENTERED AT 08:58:55 ON 23 SEP 2008

L5 FILE 'HCAPLUS' ENTERED AT 08:58:58 ON 23 SEP 2008  
TRA PLU=ON L1 1- RN : 1593 TERMS

L6 FILE 'REGISTRY' ENTERED AT 08:59:01 ON 23 SEP 2008  
1593 SEA ABB=ON PLU=ON L5

FILE 'STNGUIDE' ENTERED AT 09:02:23 ON 23 SEP 2008

L7 FILE 'REGISTRY' ENTERED AT 09:03:35 ON 23 SEP 2008  
3 SEA SSS FUL L3  
SAVE TEMP L7 KAN927PSET1/A  
D SCAN

FILE 'STNGUIDE' ENTERED AT 09:04:23 ON 23 SEP 2008  
D QUE STAT L7

FILE 'REGISTRY' ENTERED AT 09:04:46 ON 23 SEP 2008  
D IDE L7 1-3

FILE 'STNGUIDE' ENTERED AT 09:04:46 ON 23 SEP 2008

FILE 'ZCAPLUS' ENTERED AT 09:16:23 ON 23 SEP 2008

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L8      QUE ABB=ON  PLU=ON  AMIRI, P?/AU
L9      QUE ABB=ON  PLU=ON  FANTL, W?/AU
L10     QUE ABB=ON  PLU=ON  LEVINE, B?/AU
L11     QUE ABB=ON  PLU=ON  POON, D?/AU
L12     QUE ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13     QUE ABB=ON  PLU=ON  RENHOWE, P?/AU
L14     QUE ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15     QUE ABB=ON  PLU=ON  SUNG, L?/AU
L16     QUE ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA

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FILE 'HCAPLUS' ENTERED AT 09:23:58 ON 23 SEP 2008

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L17     2 SEA ABB=ON  PLU=ON  L7
L18     2 SEA ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
      L13 OR L14 OR L15 OR L16)
L19     1 SEA ABB=ON  PLU=ON  L1 AND L18
L20     2 SEA ABB=ON  PLU=ON  (L18 OR L19)
L21     0 SEA ABB=ON  PLU=ON  L17 NOT L20

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FILE 'STNGUIDE' ENTERED AT 09:24:53 ON 23 SEP 2008

FILE 'USPATFULL, USPATOLD, USPAT2, TOXCENTER' ENTERED AT 09:25:08 ON 23 SEP 2008

```

L22     6 SEA ABB=ON  PLU=ON  L7
L23     6 SEA ABB=ON  PLU=ON  L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
      L13 OR L14 OR L15 OR L16)
L24     0 SEA ABB=ON  PLU=ON  L22 NOT L23

```

FILE 'STNGUIDE' ENTERED AT 09:25:41 ON 23 SEP 2008

FILE 'BEILSTEIN' ENTERED AT 09:25:51 ON 23 SEP 2008

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L25     0 SEA SSS SAM L3
L26     0 SEA SSS FUL L3

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FILE 'CHEMINFORMRX' ENTERED AT 09:26:28 ON 23 SEP 2008

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L27     0 SEA SSS SAM L3 ( 0 REACTIONS)
L28     0 SEA SSS FUL L3 ( 0 REACTIONS)

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FILE 'STNGUIDE' ENTERED AT 09:27:05 ON 23 SEP 2008

FILE 'WPIX' ENTERED AT 09:27:21 ON 23 SEP 2008

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L29     1 SEA SSS SAM L3
      D TRI
L30     8 SEA SSS FUL L3
      SAVE TEMP L30 KAN927WPIS/A
      SELECT L30 1- SDCN
L31     2 SEA ABB=ON  PLU=ON  (RAFREO/DCN OR RARYLB/DCN OR RARYLC/DCN OR
      RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR RARYLH/DCN OR
      RARYLI/DCN) OR L30/DCR
L32     2 SEA ABB=ON  PLU=ON  L31 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
      L13 OR L14 OR L15 OR L16)
L33     0 SEA ABB=ON  PLU=ON  L2 AND L32
L34     4 SEA ABB=ON  PLU=ON  L2 OR L32 OR L33
      D TRI 1-4
L35     0 SEA ABB=ON  PLU=ON  L32 NOT L34

```

FILE 'STNGUIDE' ENTERED AT 09:30:39 ON 23 SEP 2008



10/675,927

L36 FILE 'LREGISTRY' ENTERED AT 09:33:17 ON 23 SEP 2008  
STR L3

L37 FILE 'MARPAT' ENTERED AT 09:34:59 ON 23 SEP 2008  
50 SEA SSS SAM L36

FILE 'STNGUIDE' ENTERED AT 09:35:26 ON 23 SEP 2008  
D QUE STAT

L38 FILE 'MARPAT' ENTERED AT 09:41:14 ON 23 SEP 2008  
5203 SEA SSS FUL L36  
SAVE TEMP L38 KAN927MARPA

FILE 'STNGUIDE' ENTERED AT 09:43:14 ON 23 SEP 2008

FILE 'STNGUIDE' ENTERED AT 10:06:31 ON 23 SEP 2008

L39 FILE 'ZCAPLUS' ENTERED AT 10:06:49 ON 23 SEP 2008  
QUE ABB=ON PLU=ON AY<2005 OR PY<2005 OR PRY<2005 OR MY<2005  
OR REVIEW/DT

L40 FILE 'HCAPLUS' ENTERED AT 10:07:54 ON 23 SEP 2008  
5203 SEA ABB=ON PLU=ON L38

L41 3625 SEA ABB=ON PLU=ON L40 AND L39

L42 359 SEA ABB=ON PLU=ON L41 AND (?BENZAZOL? OR ?BENZIMIDAZOL? OR  
(?BENZ(1T)(AZOL? OR IMIDAZOL?)))

FILE 'STNGUIDE' ENTERED AT 10:10:05 ON 23 SEP 2008

FILE 'ZCAPLUS' ENTERED AT 10:10:07 ON 23 SEP 2008  
E A61P0035-00/IPC  
E E23+ALL

L43 QUE ABB=ON PLU=ON A61P0035/IPC

FILE 'HCAPLUS' ENTERED AT 10:10:46 ON 23 SEP 2008

L44 131 SEA ABB=ON PLU=ON L42 AND L43

L45 56 SEA ABB=ON PLU=ON L44 AND ?KINAS?

L46 9 SEA ABB=ON PLU=ON L45 AND (RAF OR RAS OR RETROVIR? OR  
(RETRO(1W)VIR?))

L47 1 SEA ABB=ON PLU=ON L46 AND (L8 OR L9 OR L10 OR L11 OR L12 OR  
L13 OR L14 OR L15 OR L16)

L48 8 SEA ABB=ON PLU=ON L46 NOT L47

FILE 'MARPAT' ENTERED AT 10:12:04 ON 23 SEP 2008

L49 8 SEA ABB=ON PLU=ON L48

L50 8 SEA ABB=ON PLU=ON L38 AND L49

FILE 'STNGUIDE' ENTERED AT 10:13:33 ON 23 SEP 2008  
D QUE STAT L7  
D QUE NOS L21  
D QUE NOS L24  
D QUE STAT L26  
D QUE STAT L28  
D QUE STAT L30  
D QUE L35  
D QUE STAT L38  
D QUE L50

FILE 'MARPAT' ENTERED AT 10:16:37 ON 23 SEP 2008

L51 8 DUP REM L21 L24 L35 L50 (0 DUPLICATES REMOVED)

10/675,927

ANSWERS '1-8' FROM FILE MARPAT  
SAVE TEMP L51 KAN927MAIN/A

FILE 'STNGUIDE' ENTERED AT 10:16:50 ON 23 SEP 2008

FILE 'MARPAT' ENTERED AT 10:17:16 ON 23 SEP 2008  
D IBIB AB HIT

FILE 'STNGUIDE' ENTERED AT 10:17:18 ON 23 SEP 2008

FILE 'MARPAT' ENTERED AT 10:18:40 ON 23 SEP 2008  
D IBIB AB HIT 2-8

FILE 'STNGUIDE' ENTERED AT 10:19:01 ON 23 SEP 2008  
D QUE NOS L20  
D QUE NOS L23  
D QUE L34  
D QUE L47

FILE 'HCAPLUS, USPATFULL, USPAT2, TOXCENTER, WPIX' ENTERED AT 10:21:10 ON  
23 SEP 2008

L52 7 DUP REM L20 L23 L34 L47 (6 DUPLICATES REMOVED)  
ANSWERS '1-2' FROM FILE HCAPLUS  
ANSWERS '3-4' FROM FILE USPATFULL  
ANSWERS '5-7' FROM FILE WPIX  
SAVE TEMP L52 KAN927INV/A

FILE 'STNGUIDE' ENTERED AT 10:21:39 ON 23 SEP 2008

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:22:16 ON 23 SEP 2008  
D IBIB ED ABS HITIND HITSTR 1-2

FILE 'STNGUIDE' ENTERED AT 10:22:19 ON 23 SEP 2008

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:22:32 ON 23 SEP 2008  
D IBIB AB HITSTR 3-4

FILE 'STNGUIDE' ENTERED AT 10:22:40 ON 23 SEP 2008

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:23:03 ON 23 SEP 2008  
D TALL ABEQ TECH ABEX HITSTR 5-7

FILE 'STNGUIDE' ENTERED AT 10:23:08 ON 23 SEP 2008

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FILE HOME

FILE STNGUIDE  
FILE CONTAINS CURRENT INFORMATION.  
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FILE ZCAPLUS

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FILE LAST UPDATED: 22 Sep 2008 (20080922/ED)

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FILE LAST UPDATED: 22 Sep 2008 (20080922/ED)

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#### FILE WPIX

FILE LAST UPDATED: 20 SEP 2008 <20080920/UP>  
MOST RECENT UPDATE: 200860 <200860/DW>

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>>> IPC Reform backfile reclassifications have been loaded to the end of June 2008. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC, 20071130/UPIC, 20080401/UPIC and 20080701/UPIC. ECLA reclassifications to June and US national classifications to the end of April 2008 have also been loaded. Update dates 20080401 and 20080701/UPEC and /UPNC have been assigned to these. <<<

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FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE

<http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate>

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[http://www.stn-international.com/archive/presentations/DWPIAnaVist2\\_0608.p](http://www.stn-international.com/archive/presentations/DWPIAnaVist2_0608.p)

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

FILE LREGISTRY  
LREGISTRY IS A STATIC LEARNING FILE

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FILE REGISTRY  
Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 21 SEP 2008 HIGHEST RN 1051326-19-2  
DICTIONARY FILE UPDATES: 21 SEP 2008 HIGHEST RN 1051326-19-2

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Sep 2008 (20080923/PD)  
FILE LAST UPDATED: 23 Sep 2008 (20080923/ED)  
HIGHEST GRANTED PATENT NUMBER: US7428757  
HIGHEST APPLICATION PUBLICATION NUMBER: US20080229468  
CA INDEXING IS CURRENT THROUGH 23 Sep 2008 (20080923/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2008 (20080923/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2008  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2008

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reclassification data for the second quarter of 2008.

FILE USPATOLD

FILE COVERS U.S. PATENTS 1790-1975  
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reclassification data for the second quarter of 2008.

# FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 23 Sep 2008 (20080923/PD)  
 FILE LAST UPDATED: 23 Sep 2008 (20080923/ED)  
 HIGHEST GRANTED PATENT NUMBER: US20080164244  
 HIGHEST APPLICATION PUBLICATION NUMBER: US20080228403  
 CA INDEXING IS CURRENT THROUGH 23 Sep 2008 (20080923/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2008 (20080923/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2008  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2008

USPAT2 now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

# FILE TOXCENTER

FILE COVERS 1907 TO 15 Sep 2008 (20080915/ED)

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The BIOSIS segment of TOXCENTER has been augmented with 13,000 records from 1946 through 1968.

# FILE BEILSTEIN

FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.

FILE CONTAINS 10,322,808 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

10/675,927

FILE CHEMINFORMRX  
FILE LAST UPDATED: 9 JUN 2008 <20080609/UP>

>>> CAS Registry Numbers are available for  
substances prior to 1995 <<<

FILE MARPAT  
FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080919/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20080188684	07	AUG	2008
DE	202008001599	31	JUL	2008
EP	1953168	06	AUG	2008
JP	2008181992	07	AUG	2008
WO	2008094958	07	AUG	2008
GB	2444641	11	JUN	2008
FR	2912218	08	AUG	2008
RU	2330029	27	JUL	2008
CA	2615024	14	JUN	2008

Expanded G-group definition display now available.

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=>